Welcome to STN International! Enter x:x

LOGINID:sssptal611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA

PMD 1/12/07

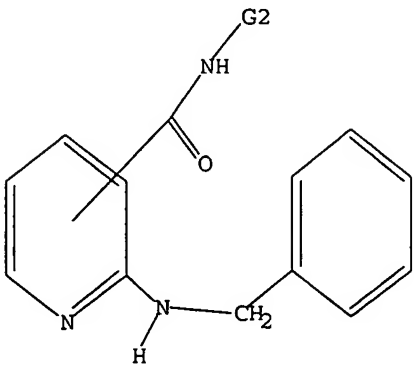
```
 NEWS 41   May 19  RAPRA enhanced with new search field, simultaneous left and
                   right truncation
 NEWS 42   Jun 06  Simultaneous left and right truncation added to CBNB
 NEWS 43   Jun 06  PASCAL enhanced with additional data
 NEWS 44   Jun 20  2003 edition of the FSTA Thesaurus is now available
 NEWS 45   Jun 25  HSDB has been reloaded

 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:31:48 ON 01 JUL 2003

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:32:07 ON 01 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   30 JUN 2003  HIGHEST RN 540462-79-1
DICTIONARY FILE UPDATES:  30 JUN 2003  HIGHEST RN 540462-79-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
```

Patel <7/1/2003>

Uploading 10197960.1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 CH2,CH,SO2
G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 09:32:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3196 TO ITERATE

31.3% PROCESSED 1000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 60531 TO 67309
PROJECTED ANSWERS: 1 TO 170

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:32:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 63486 TO ITERATE

100.0% PROCESSED 63486 ITERATIONS 90 ANSWERS
SEARCH TIME: 00.00.08

L3 90 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

Patel <7/1/2003>

FILE 'CAPLUS' ENTERED AT 09:32:46 ON 01 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 1 Jul 2003 VOL 139 ISS 1
FILE LAST UPDATED: 30 Jun 2003 (20030630/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 15 L3

=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2003:417626 CAPLUS
DN 139:6865
TI Nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands
IN Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

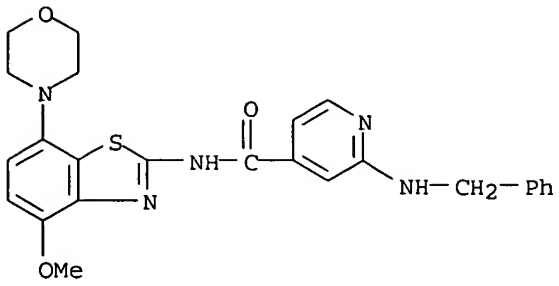
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003043636	A1	20030530	WO 2002-EP12562	20021111
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				EP 2001-127312 A	20011119

IT **535924-40-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

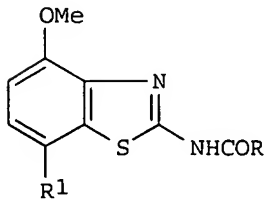
(prepn. of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

RN 535924-40-4 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



GI



I

AB Title compds. I [R = 2-substituted 4-pyridyl, 4-substituted 3-pyridyl; R1 = Ph, piperidin-1-yl, morpholinyl] were prepd. for use as adenosine A2A receptor ligands. Thus, 4-methoxy-7-morpholinobenzothiazole-2-amine was acylated with 2-chloroisonicotinoyl chloride and treated with HOCH2CH2OMe to give I [R = 2-(2-methoxyethoxy)pyridin-4-yl, R1 = morpholino] which had a pKi for the human A2A receptor of 8.50.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2003 ACS

AN 2003:242305 CAPLUS

DN 138:271675

TI Preparation of 4,5-dihydro-1H-benzo[g]indazole-3-carboxamides for the treatment of inflammation

IN Bergmanis, Arija A.; Bonafoux, Dominique; Clare, Michael; Crich, Joyce Z.; Fletcher, Theresa R.; Geng, Lifeng; Hagen, Timothy J.; Hamper, Bruce C.; Hanson, Gunnar J.; Houdek, Stephen C.; Huang, He; Iula, Donna M.; Koszyk, Francis J.; Lennon, Patrick J.; Liao, Shuyuan; Liao, Subo; Metz, Suzanne; Mohler, Scott B.; Nguyen, Maria; Oburn, David S.; Owen, Thomas J.; Partis, Richard A.; Scates, Angela M.; Stealey, Michael A.; Tollefson, Michael B.; Vazquez, Michael L.; Weier, Richard M.; Wolfson, Serge G.; Xu, Xiangdong

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 331 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003024935	A2	20030327	WO 2002-US29774	20020919

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

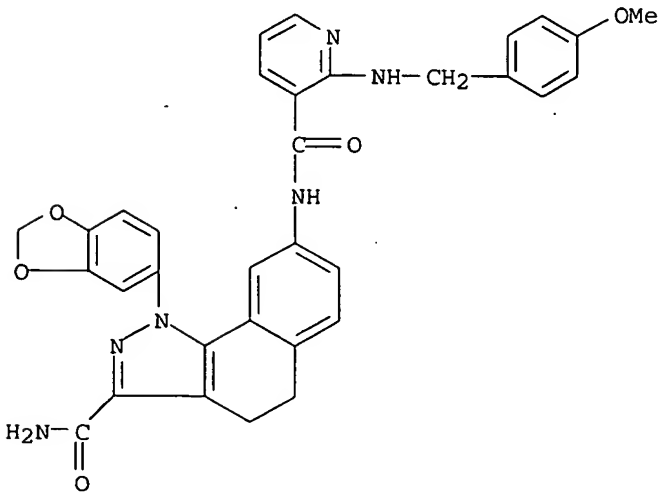
US 2001-323423PP 20010919
US 2002-379090PP 20020509

OS MARPAT 138:271675

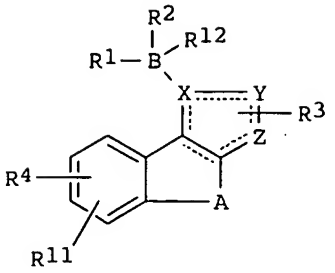
IT **503555-09-7P**, 1-(1,3-Benzodioxol-5-yl)-8-[[[2-[(4-methoxybenzyl)amino]pyridin-3-yl]carbonyl]amino]-4,5-dihydro-1H-benzo[g]indazole-3-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(IKK2 inhibitor; prepn. of benzo[g]carboxamides as IKK2 inhibitors for treatment of cancer, inflammation, and inflammation-assocd. disorders)

RN 503555-09-7 CAPLUS

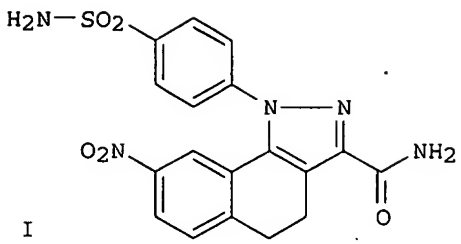
CN 1H-Benz[g]indazole-3-carboxamide, 1-(1,3-benzodioxol-5-yl)-4,5-dihydro-8-[[[2-[[(4-methoxyphenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



GI



I



II

AB The present invention relates to substituted pyrazolyl derivs., compns. comprising such, intermediates, methods of making substituted pyrazolyl derivs., and methods for treating cancer, inflammation, and inflammation-assocd. disorders, such as arthritis. Title compds. I [wherein A = (un)substituted (CH2)m; m = 0-3; B = (un)substituted (hetero)aryl; X = N or C; Y and Z = independently N, C, CH, CR3, S, or O; R1 = H, halo, (halo)alkyl, (hetero)aryl, alkenyl, alkynyl, CN, NO2, alkoxy(carbonyl), carbamoyl, acyl, alkylthio, sulfamoyl, ureido, etc.; R2 = H, halo, (halo)alkyl, hydroxyalkyl, alkoxy, CN, NO2, alkylthio, amino, carbamoyl, ureido, CO2H, etc.; R3 = (un)substituted amidine, alkylamino, aminoalkyl, carbamoyl, NH2, or acylamino(methyl); R4 = H, halo, alkylsulfinyl, alkylsulfonyl, CN, alkoxycarbonyl, (halo)alkyl, hydroxyalkyl, haloalkoxy, heterocyclyl, NO2, acylamino, (hetero)aryl, alkenyl, alkoxy, alkylthio, sulfamoyl, acyl, ureido, carbamoyl, etc.; R5 = H, or (un)substituted (aryl)alkyl, (hetero)aryl, heterocyclylalkyl, or heteroarylalkyl; R11 = H, halo, (halo)alkyl, CN, alkoxycarbonyl, alkenyl, alkynyl, alkoxy, carbamoyl, etc.; R12 = H, halo, alkyl, or alkoxy; with provisos; and isomers, tautomers, carriers, esters, prodrugs, and pharmaceutically acceptable salts thereof] were prepd. via conventional and solid phase synthetic methods as I.kappa.B protein kinase .beta. (IKK.beta. or IKK2) inhibitors. For example, reaction of 7-nitro-1-tetralone with Et acetate in the presence of Li bis(trimethylsilyl)amide in ether gave the 1,3-diketone (87%), which was cyclized with 4-sulfonamidophenylhydrazine.bul.HCl with HCl in MeOH to give the Et 1H-dihydrobenzo[g]indazolecarboxylate (69%). Amidation with NH3OH in MeOH provided II. In IKK.beta. resin enzyme assays, I exhibited IKK.beta. activity with IC50 values ranging from .ltoreq. 1 .mu.M to > 100 .mu.M. Thus, I are useful for treating cancer, inflammation, and inflammation-assocd. disorders, such as arthritis (no data).

L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2003:242160 CAPLUS
DN 138:271705
TI Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
IN Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PA Methylgene, Inc., Can.
SO PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003024448	A2	20030327	WO 2002-US29017	20020912

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

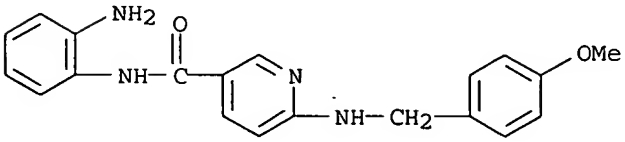
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-322402PP 20010914
US 2002-391728PP 20020626

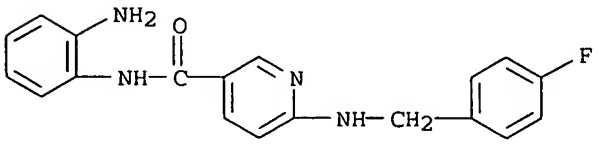
OS MARPAT 138:271705

IT **503039-04-1P**, N-(2-Aminophenyl)-6-[(4-methoxybenzyl)amino]nicotinamide **503042-57-7P**, N-(2-Aminophenyl)-6-(((4-fluorophenyl)methyl)amino)nicotinamide **503042-58-8P**, N-(2-Aminophenyl) 6 (((3,4,5-trimethoxyphenyl)methyl)amino)nicotinamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

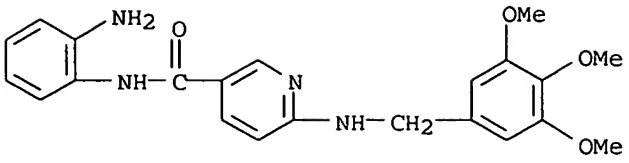
RN 503039-04-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminophenyl)-6-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



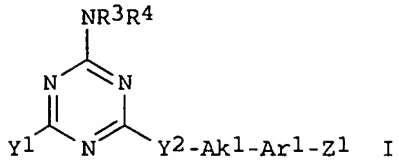
RN 503042-57-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminophenyl)-6-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 503042-58-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminophenyl)-6-[[(3,4,5-trimethoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



GI



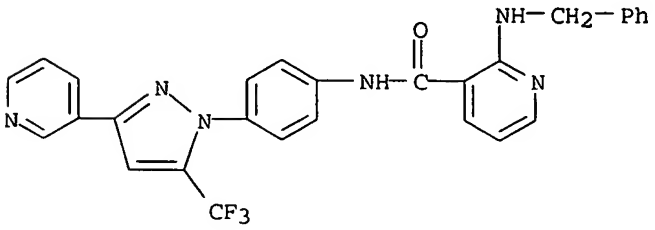
AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two satd. or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH2-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chem. bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH2- is replaced with -NH-, and more preferably -NH-CH2), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chem. bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chem. bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)2-, -S(O)2N(R7)-, -N(R7)S(O)2-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with

an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH2-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of prepn. are not claimed, hundreds of example prepns. are included.

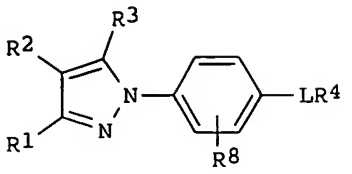
L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2003:22869 CAPLUS
DN 138:89806
TI Preparation of arylpyrazoles as soluble epoxide hydrolase inhibitors for treatment of cardiovascular disease.
IN Ingraham, Richard H.; Proudfoot, John R.
PA Boehringer Ingelheim Pharmaceuticals Inc., USA
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003002555	A1	20030109	WO 2002-US18752	20020614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-302066PP	20010629
US 2003022929	A1	20030130	US 2002-172457	20020614
			US 2001-302066PP	20010629

OS MARPAT 138:89806
IT **483342-21-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylpyrazoles as sol. epoxide hydrolase inhibitors for treatment of cardiovascular disease)
RN 483342-21-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[4-[3-(3-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



GI



I

AB A method of treating cardiovascular disease comprises administration of title compds. [I; R1, R3 = CF3, halo, cyano, alkyl, alkenyl, alkynyl, (substituted) cycloalkyl, heterocyclyl, etc.; R2 = H, halo, Me; L = NHCO, NHCS, NH, NHCH2, NHCOCO, etc.; R4 = (substituted) alkyl, alkoxy, alkylthio, alkylamino, alkoxyalkyl, alkylthioalkyl, carbocyclyl, heterocyclyl, etc.; R8 = H, NH2] (no data). Thus, 2-chloronicotinic acid in MeCN was treated with EDC and then with 1-(4-aminophenyl)-3-(3-pyridyl)-5-trifluoromethylpyrazole under ice cooling followed by stirring for 1 h to give I (R1 = 3-pyridyl; R2, R8 = H; R3 = CF3; L = NHCO; R4 = 2-chloropyridin-3-yl).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2002:637637 CAPLUS
DN 137:185325
TI Preparation of acylated 6,7,8,9-tetrahydro-5H-benzocycloheptenylamines as stimulators of endothelial NO-synthase transcription
IN Strobel, Hartmut; Wohlfart, Paulus
PA Aventis Pharma Deutschland Gmbh, Germany
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

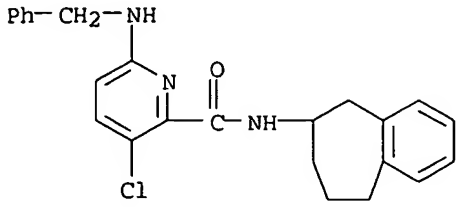
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002064546	A2	20020822	WO 2002-EP1449	20020212
	WO 2002064546	A3	20021107		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				EP 2001-102853 A	20010213
	US 2003008915	A1	20030109	US 2002-73203	20020213
				EP 2001-102853 A	20010213

OS MARPAT 137:185325
IT **450368-08-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(eNOS transcription stimulator; prepn. of acylated tetrahydrobenzocycloheptenylamines as stimulators of endothelial

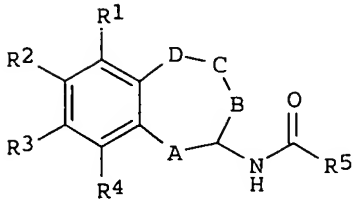
NO-synthase transcription)

RN 450368-08-8 CAPLUS

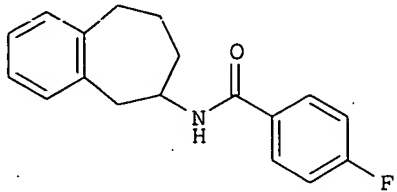
CN 2-Pyridinecarboxamide, 3-chloro-6-[(phenylmethyl)amino]-N-(6,7,8,9-tetrahydro-5H-benzocyclohepten-6-yl)- (9CI) (CA INDEX NAME)



GI



I



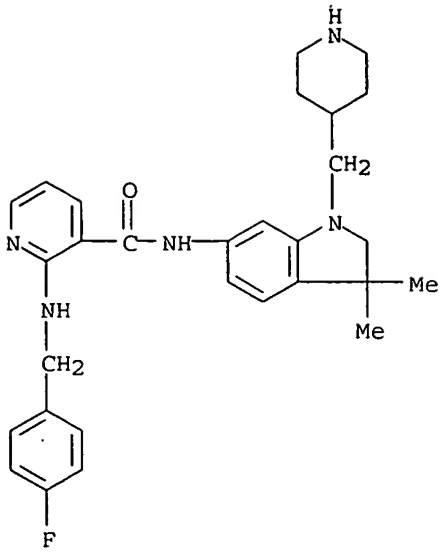
II

AB Title compds. I [wherein R1 and R4 = independently H, (pseudo)halo, CF3, NO2, or (un)substituted alkyl, alkenyl, alkynyl, Ph, heteroaryl, amino, alkoxy, sulfamoyl, etc.; R2 and R3 = independently H, (pseudo)halo, OH, PhO, alkoxy, CF3, CN, NO2, or (un)substituted alkyl, amino, acylamino, etc.; A = CH2, CHOH, or CH(alkyl); B, C, and D = independently CH2 or CH(alkyl); R5 = (un)substituted (hetero)aryl; and stereoisomers, mixts., or pharmaceutically acceptable salts thereof] were prepd. as stimulators of endothelial NO-synthase (eNOS) transcription, which has a vasodilating effect and inhibits the aggregation of platelets, the adhesion of leukocytes to the endothelium, and the proliferation of intimal smooth muscle cells. For example, amidation of 4-fluorobenzoic acid chloride with 6,7,8,9-tetrahydro-5H-benzocyclohepten-6-ylamine in the presence of TEA in dioxane afforded II. The latter activated eNOS transcription in primary human umbilical vein cord endothelial cells (HUVEC) with EC50 of 0.02 .mu.M. I are useful for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA, hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, or restricted memory performance or for a restricted ability to learn, or the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives (no data).

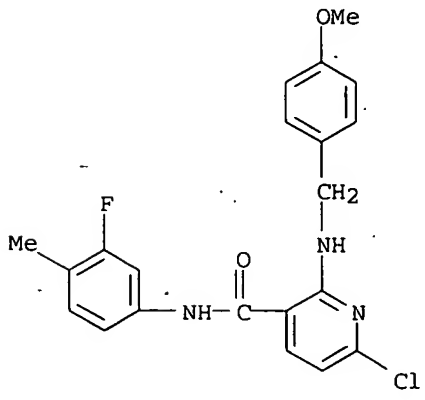
L4 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2002:539663 CAPLUS
DN 137:109210
TI Preparation of substituted arylamine derivatives and methods of use as antitumor agents
IN Chen, Guoqing; Booker, Shon; Cai, Guolin; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Huang, Qi; Kim, Joseph L.; Kim, Tae-Seong; Patel, Vinod F.; Smith, Leon M.; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang
PA Amgen Inc., USA
SO PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002055501	A2	20020718	WO 2002-US742	20020111
WO 2002055501	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2001-261360PP	20010112
			US 2001-323686PP	20010919
			US 2002-46526 A	20020110
US 2002147198	A1	20021010	US 2002-46526	20020110
			US 2001-261360PP	20010112
			US 2001-323686PP	20010919

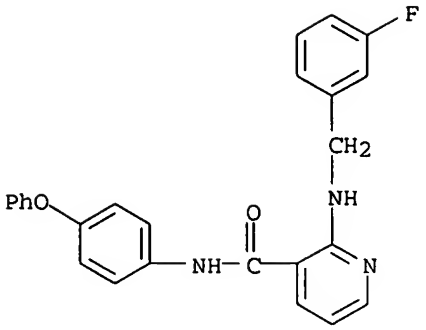
OS MARPAT 137:109210
IT **442847-21-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of substituted aminopyridines as antitumor agents)
RN 442847-21-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dihydro-3,3-dimethyl-1-(4-piperidinylmethyl)-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



IT **442845-74-1P 442845-77-4P 442846-13-1P**
442846-17-5P 442846-22-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of substituted aminopyridines as antitumor agents)
RN 442845-74-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro-N-(3-fluoro-4-methylphenyl)-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)

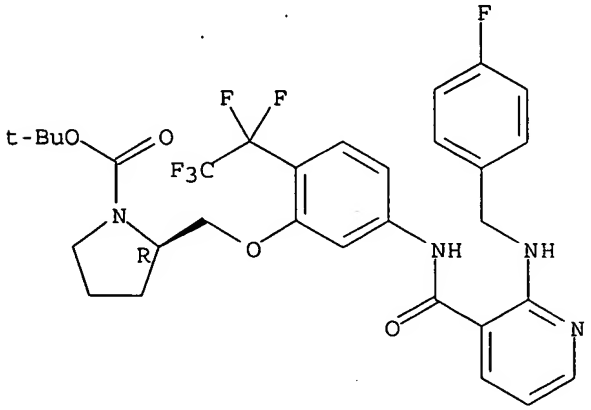


RN 442845-77-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-fluorophenyl)methyl]amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

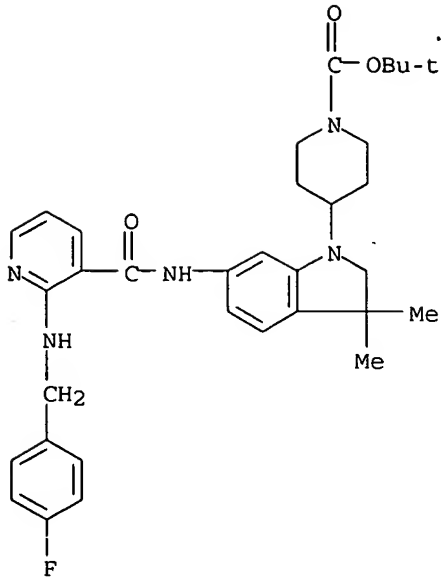


RN 442846-13-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[5-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-2-(pentafluoroethyl)phenoxy]methyl]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

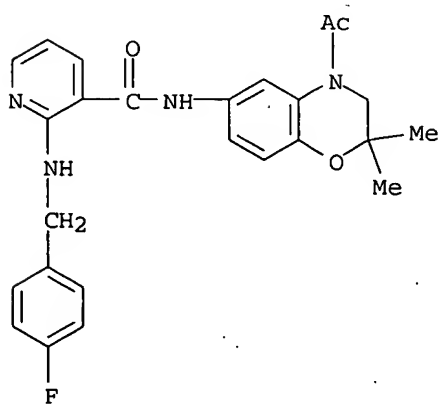
Absolute stereochemistry.



RN 442846-17-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-2,3-dihydro-3,3-dimethyl-1H-indol-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



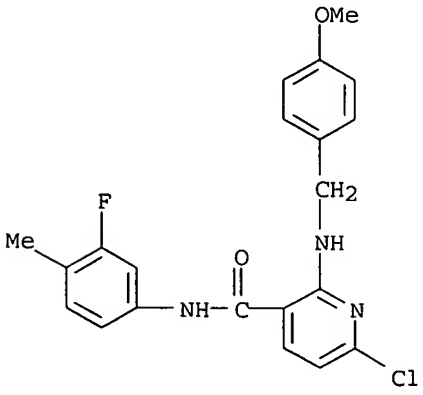
RN 442846-22-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-acetyl-3,4-dihydro-2,2-dimethyl-2H-1,4-benzoxazin-6-yl)-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



IT **442845-75-2P 442845-76-3P 442845-78-5P**
442845-79-6P 442845-80-9P 442845-81-0P
442845-82-1P 442845-83-2P 442845-84-3P
442845-85-4P 442845-86-5P 442845-87-6P
442845-88-7P 442845-89-8P 442845-90-1P
442845-91-2P 442845-92-3P 442845-93-4P
442845-94-5P 442845-95-6P 442845-96-7P
442845-97-8P 442845-99-0P 442846-00-6P
442846-01-7P 442846-02-8P 442846-03-9P
442846-04-0P 442846-05-1P 442846-06-2P
442846-07-3P 442846-08-4P 442846-09-5P
442846-10-8P 442846-12-0P 442846-14-2P

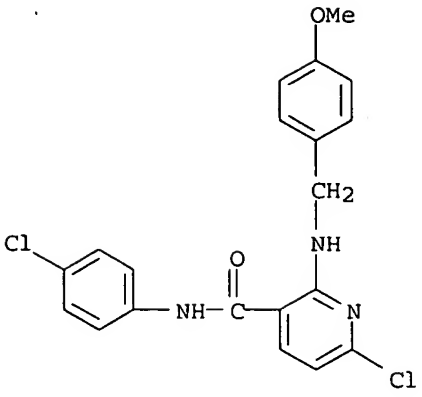
442846-15-3P 442846-16-4P 442846-18-6P
442846-19-7P 442846-20-0P 442846-21-1P
442846-23-3P 442846-24-4P 442846-25-5P
442846-26-6P 442846-27-7P 442846-28-8P
442846-29-9P 442846-30-2P 442846-31-3P
442846-32-4P 442846-33-5P 442846-34-6P
442846-35-7P 442846-36-8P 442846-38-0P
442846-39-1P 442846-40-4P 442846-42-6P
442846-44-8P 442846-46-0P 442846-48-2P
442846-50-6P 442846-52-8P 442846-53-9P
442847-23-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of substituted aminopyridines as antitumor agents)

RN 442845-75-2 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro-N-(3-fluoro-4-methylphenyl)-2-[[(4-methoxyphenyl)methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

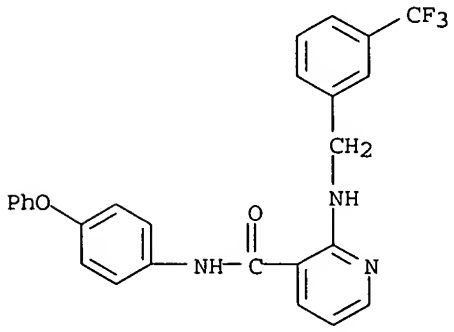


● HCl

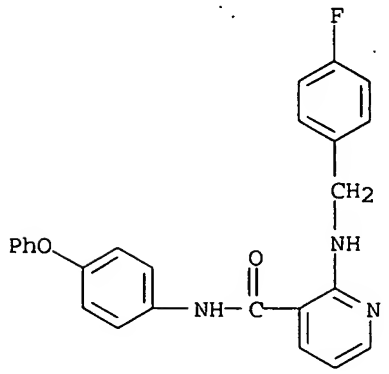
RN 442845-76-3 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro-N-(4-chlorophenyl)-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 442845-78-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-phenoxyphenyl)-2-[[[3-(trifluoromethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

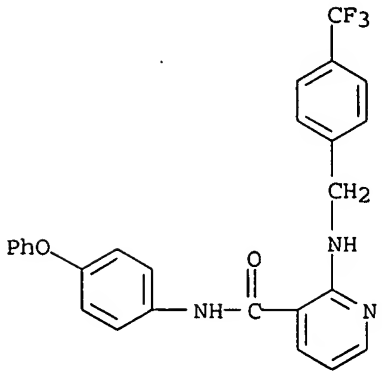


RN 442845-79-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

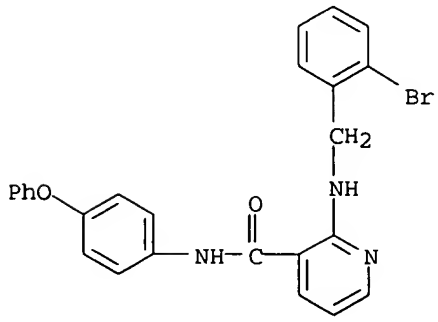


RN 442845-80-9 CAPLUS

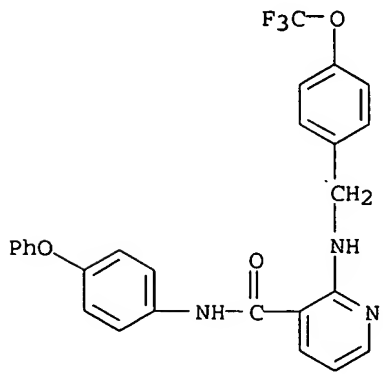
CN 3-Pyridinecarboxamide, N-(4-phenoxyphenyl)-2-[[[4-(trifluoromethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

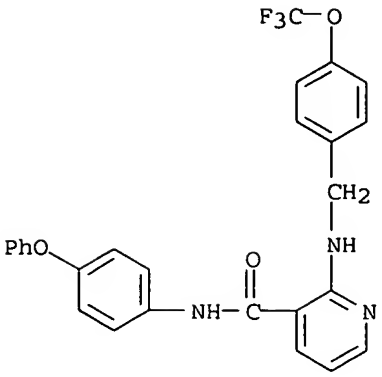


RN 442845-81-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(2-bromophenyl)methyl]amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

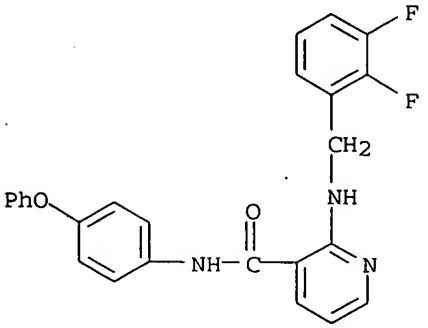


RN 442845-82-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-phenoxyphenyl)-2-[[[4-(trifluoromethoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

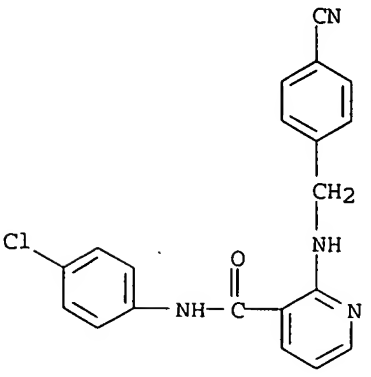




RN 442845-83-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(2,3-difluorophenyl)methyl]amino]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

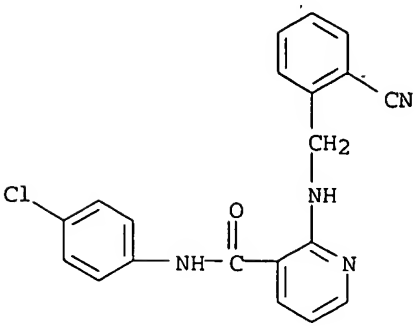


RN 442845-84-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[(4-cyanophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

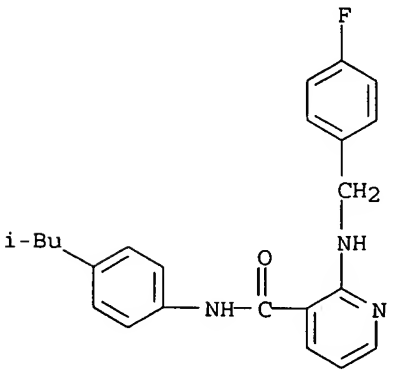


RN 442845-85-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-2-[[(2-cyanophenyl)methyl]amino]-

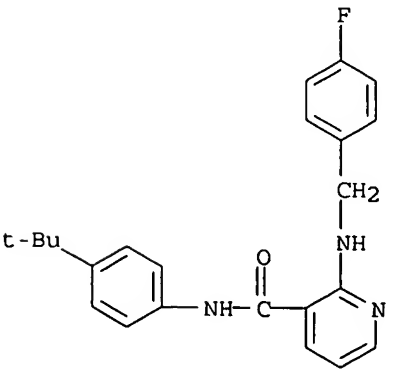
(9CI) (CA INDEX NAME)



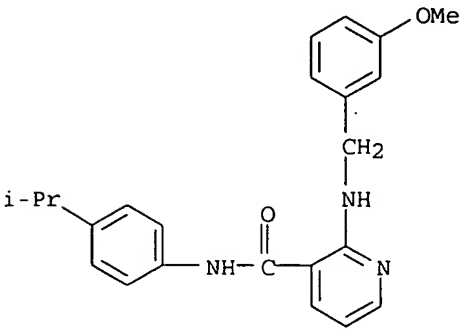
RN 442845-86-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-(2-methylpropyl)phenyl]- (9CI) (CA INDEX NAME)



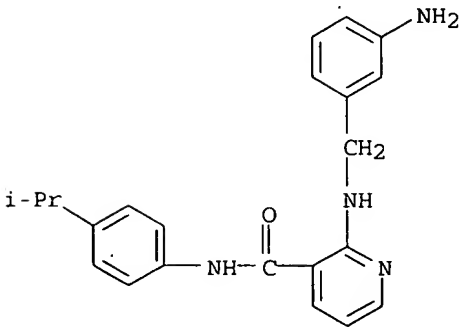
RN 442845-87-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



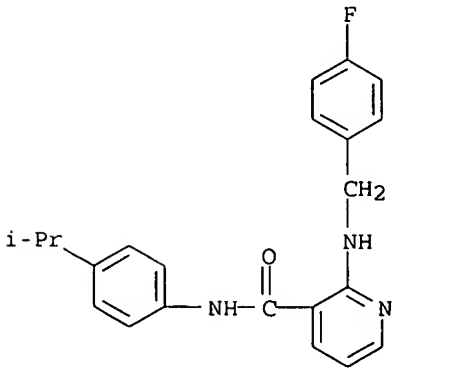
RN 442845-88-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-methoxyphenyl)methyl]amino]-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



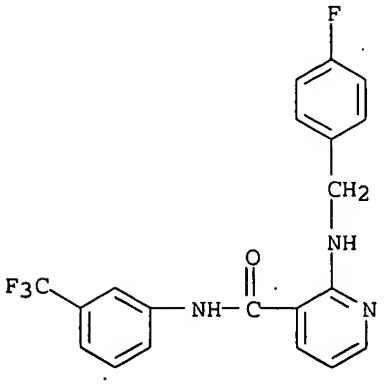
RN 442845-89-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-aminophenyl)methyl]amino]-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



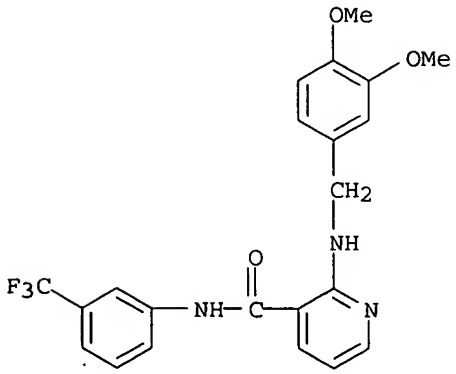
RN 442845-90-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



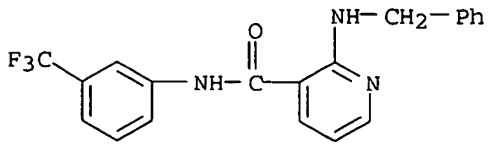
RN 442845-91-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



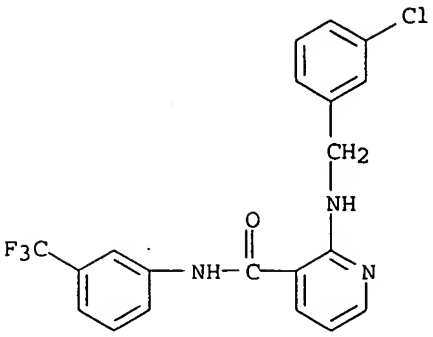
RN 442845-92-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3,4-dimethoxyphenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



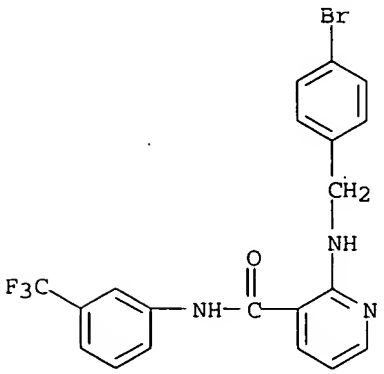
RN 442845-93-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



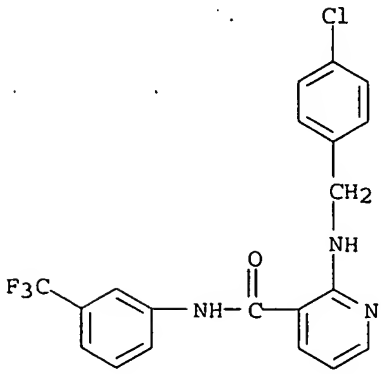
RN 442845-94-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-chlorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 442845-95-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-bromophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

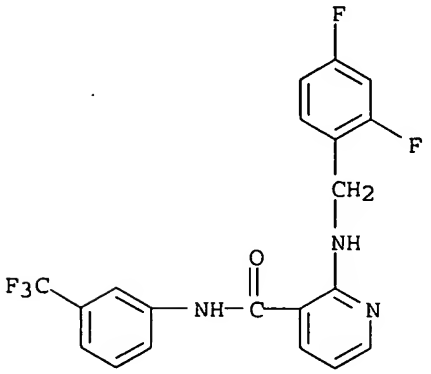


RN 442845-96-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

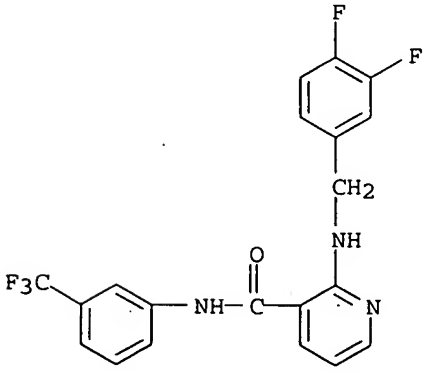


RN 442845-97-8 CAPLUS

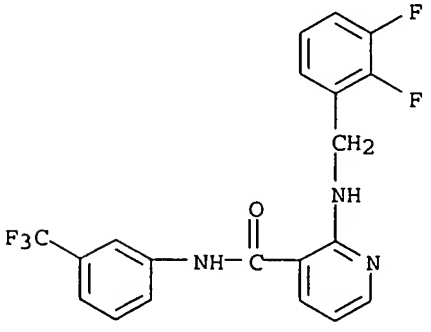
CN 3-Pyridinecarboxamide, 2-[[(2,4-difluorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

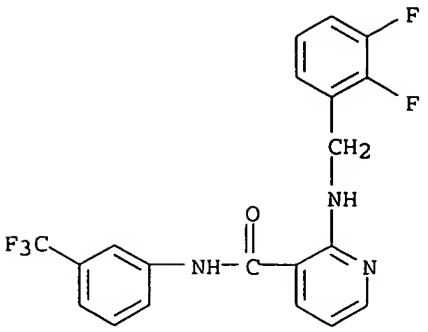


RN 442845-99-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3,4-difluorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

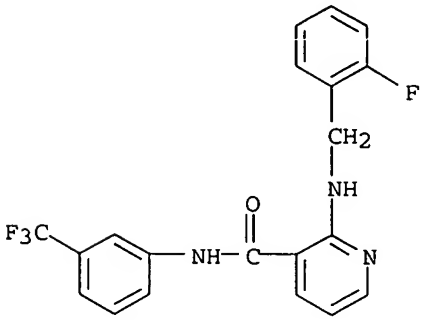


RN 442846-00-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(2,3-difluorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

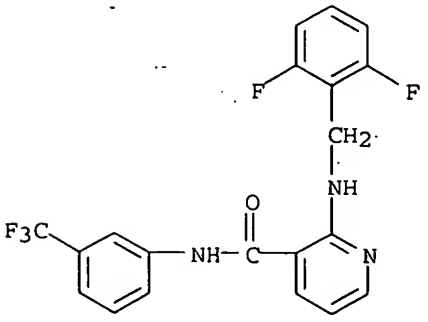




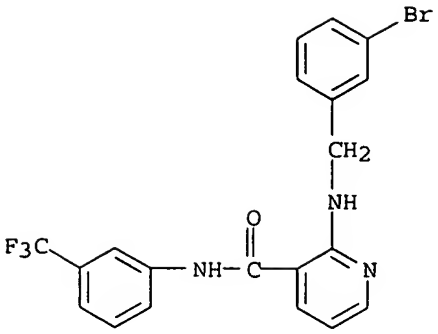
RN 442846-01-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(2-fluorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



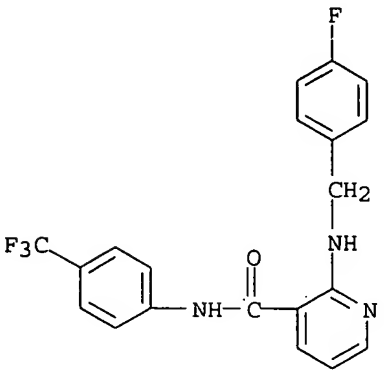
RN 442846-02-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(2,6-difluorophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



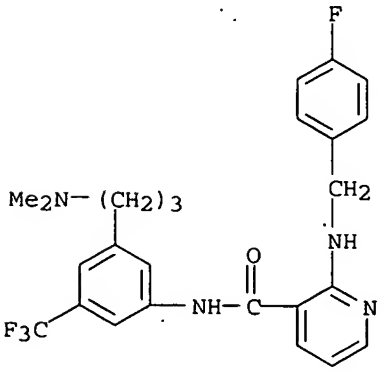
RN 442846-03-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-bromophenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 442846-04-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

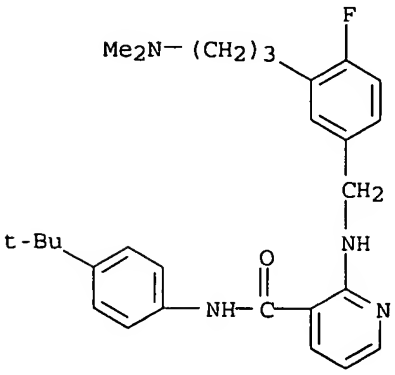


RN 442846-05-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[3-(dimethylamino)propyl]-5-(trifluoromethyl)phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

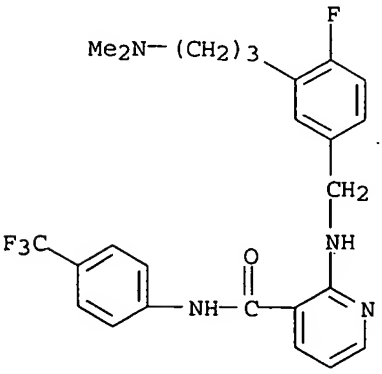


RN 442846-06-2 CAPLUS

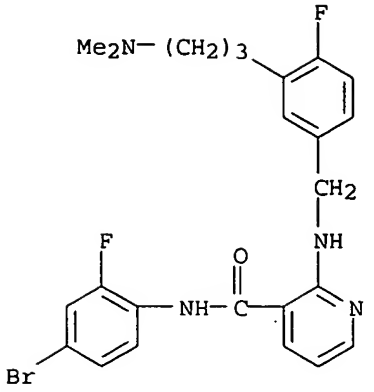
CN 3-Pyridinecarboxamide, 2-[[[3-[3-(dimethylamino)propyl]-4-fluorophenyl]methyl]amino]-N-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)



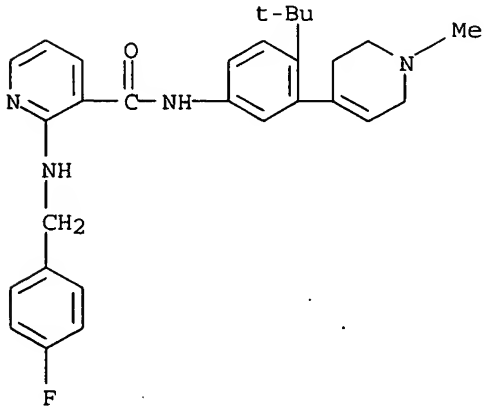
RN 442846-07-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[3-[3-(dimethylamino)propyl]-4-fluorophenyl]methyl]amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



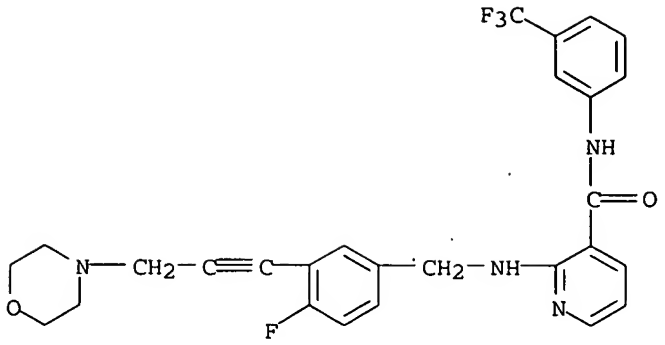
RN 442846-08-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-bromo-2-fluorophenyl)-2-[[[3-[3-(dimethylamino)propyl]-4-fluorophenyl]methyl]amino]- (9CI) (CA INDEX NAME)



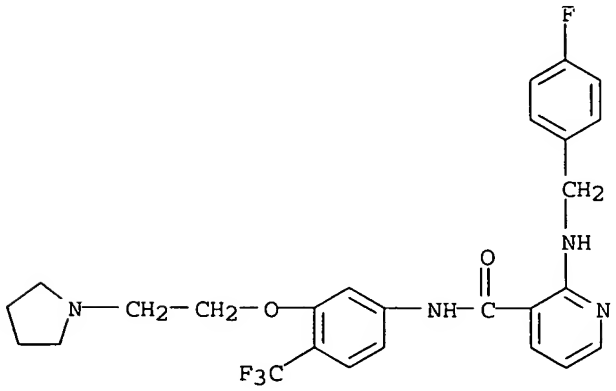
RN 442846-09-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



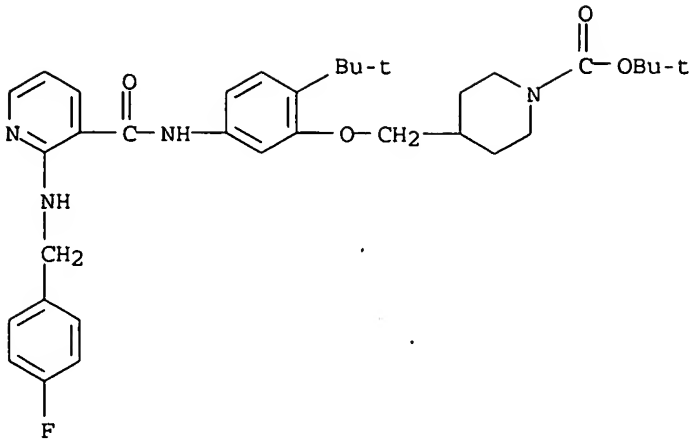
RN 442846-10-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[4-fluoro-3-[3-(4-morpholinyl)-1-propynyl]phenyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



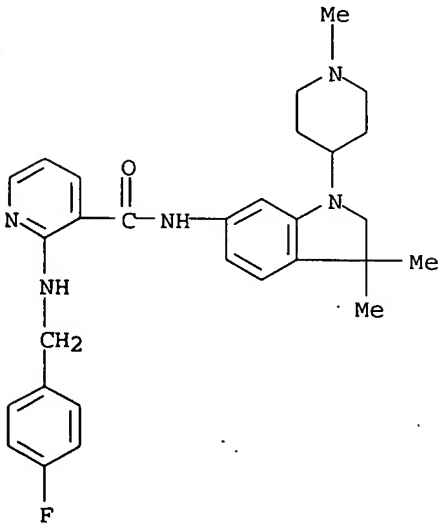
RN 442846-12-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-[2-(1-pyrrolidinyl)ethoxy]-4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



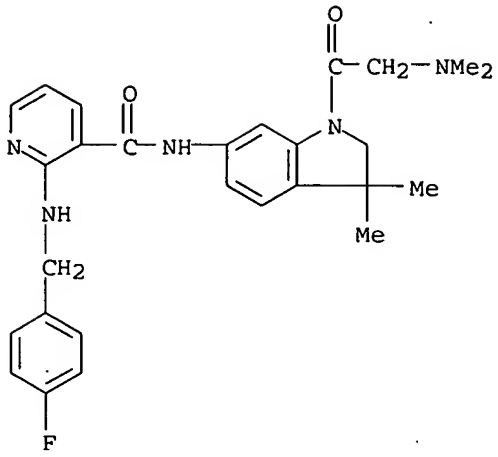
RN 442846-14-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2-(1,1-dimethylethyl)-5-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]phenoxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



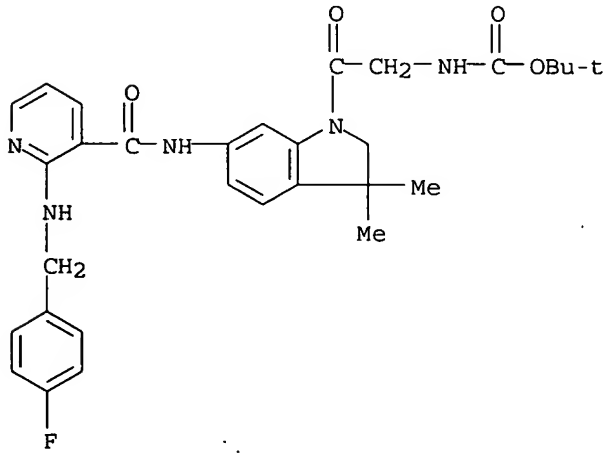
RN 442846-15-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dihydro-3,3-dimethyl-1-(1-methyl-4-piperidinyl)-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



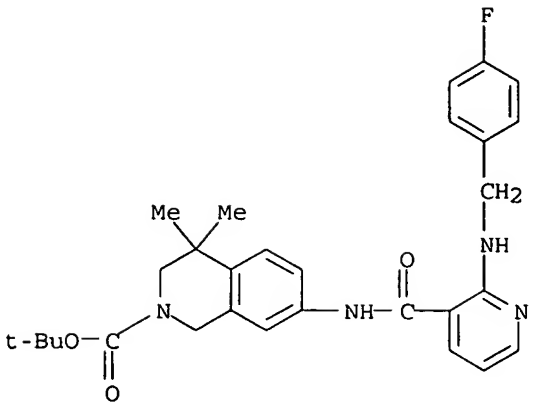
RN 442846-16-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-[(dimethylamino)acetyl]-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



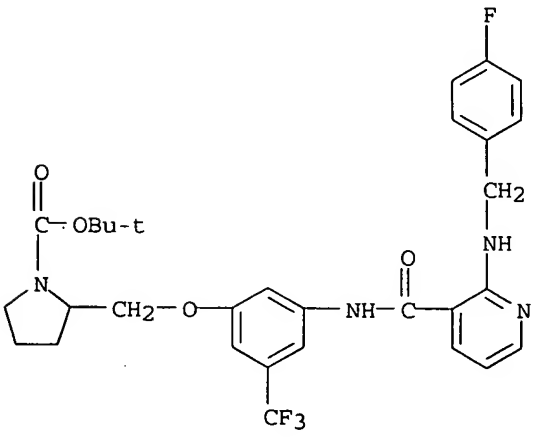
RN 442846-18-6 CAPLUS
CN Carbamic acid, [2-[6-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-2,3-dihydro-3,3-dimethyl-1H-indol-1-yl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



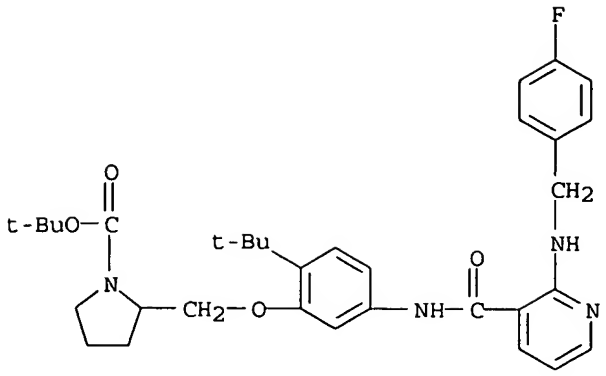
RN 442846-19-7 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 7-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-3,4-dihydro-4,4-dimethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



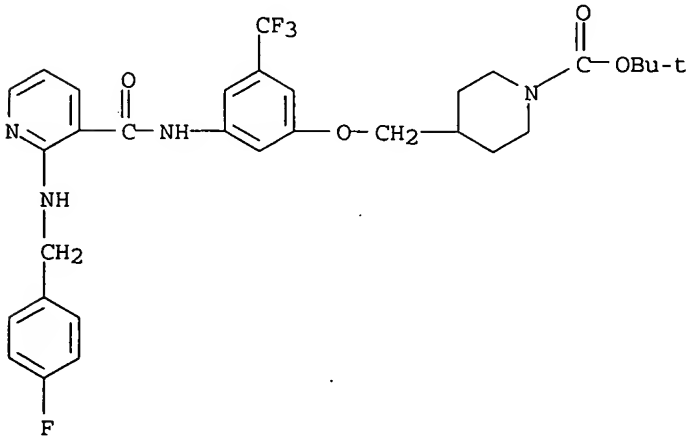
RN 442846-20-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[3-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-5-(trifluoromethyl)phenoxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 442846-21-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[2-(1,1-dimethylethyl)-5-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]phenoxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

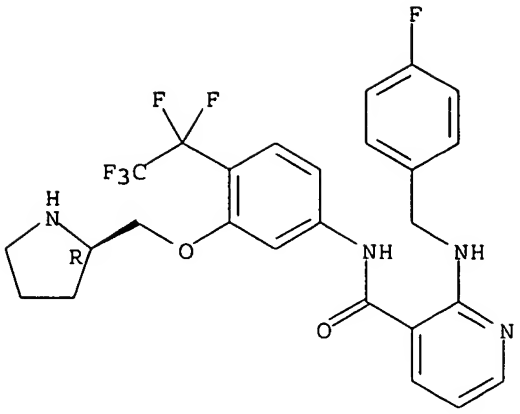


RN 442846-23-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-5-(trifluoromethyl)phenoxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



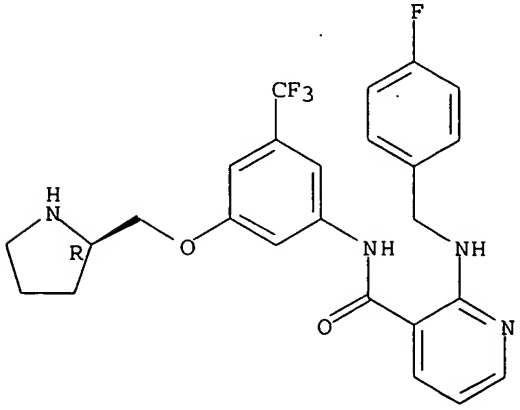
RN 442846-24-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-(pentafluoroethyl)-3-[(2R)-2-pyrrolidinylmethoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

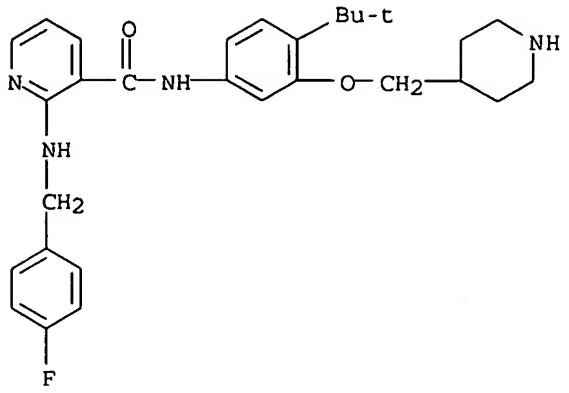


RN 442846-25-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-[(2R)-2-pyrrolidinylmethoxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

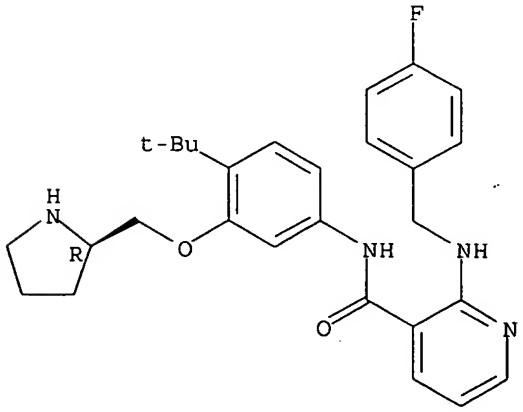


RN 442846-26-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-(4-piperidinylmethoxy)phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

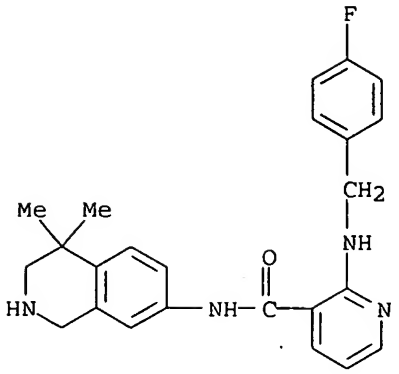


RN 442846-27-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-[(2R)-2-pyrrolidinylmethoxy]phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

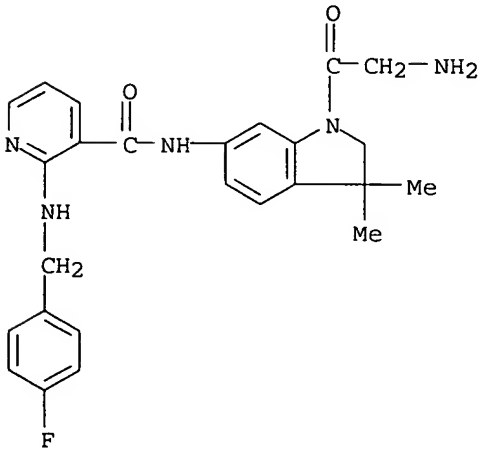
Absolute stereochemistry.



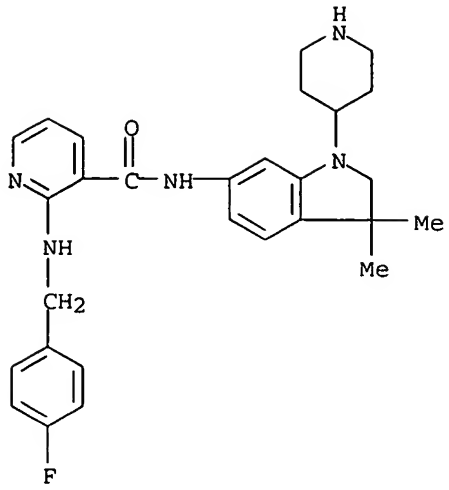
RN 442846-28-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)



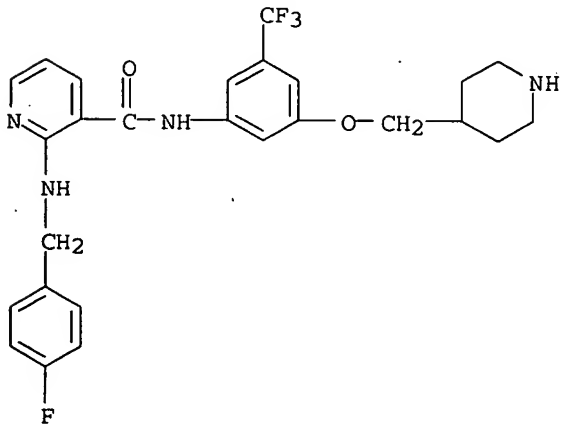
RN 442846-29-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(aminoacetyl)-2,3-dihydro-3,3-dimethyl-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



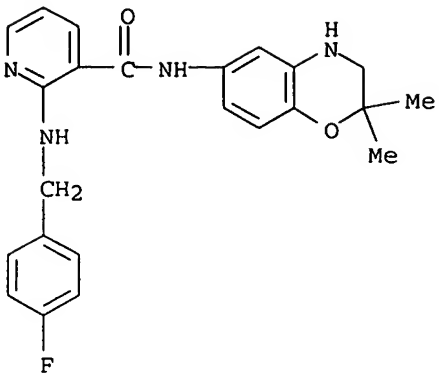
RN 442846-30-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dihydro-3,3-dimethyl-1-(4-piperidinyl)-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 442846-31-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-(4-piperidinylmethoxy)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

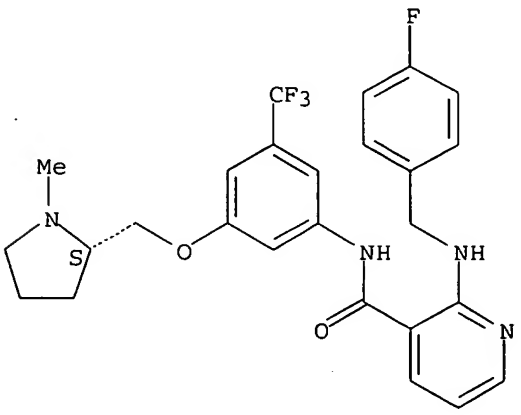


RN 442846-32-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,4-dihydro-2,2-dimethyl-2H-1,4-benzoxazin-6-yl)-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

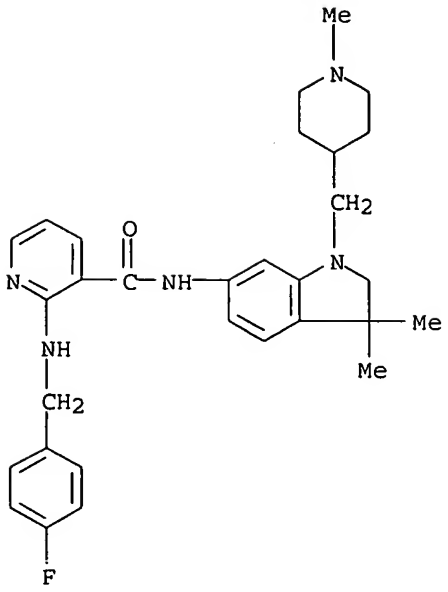


RN 442846-33-5 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

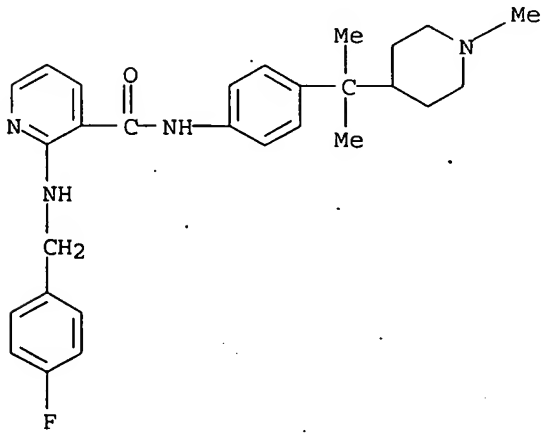
Absolute stereochemistry.



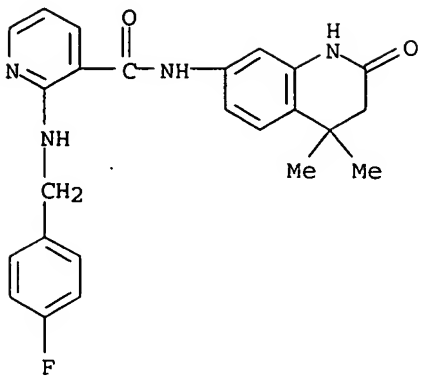
RN 442846-34-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[2,3-dihydro-3,3-dimethyl-1-[(1-methyl-4-piperidinyl)methyl]-1H-indol-6-yl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



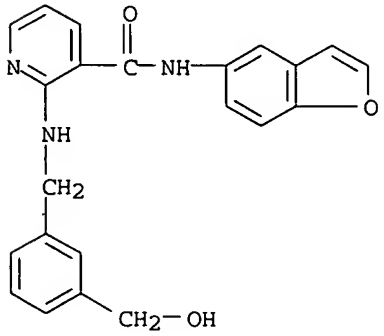
RN 442846-35-7 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-[1-methyl-1-(1-methyl-4-piperidinyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



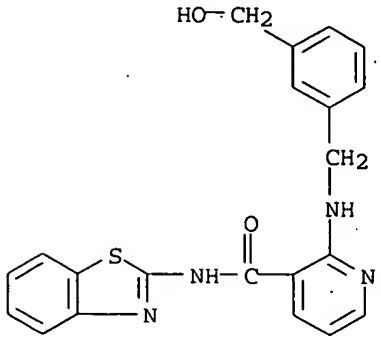
RN 442846-36-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-(1,2,3,4-tetrahydro-4,4-dimethyl-2-oxo-7-quinolinyl)- (9CI) (CA INDEX NAME)



RN 442846-38-0 CAPLUS
CN 3-Pyridinecarboxamide, N-5-benzofuranyl-2-[[[3-(hydroxymethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

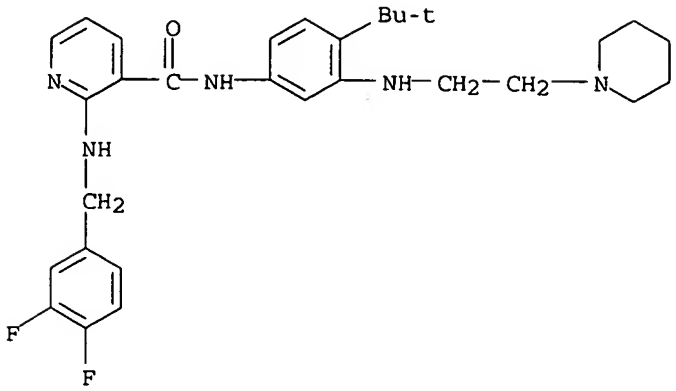


RN 442846-39-1 CAPLUS
CN 3-Pyridinecarboxamide, N-2-benzothiazolyl-2-[[[3-(hydroxymethyl)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)

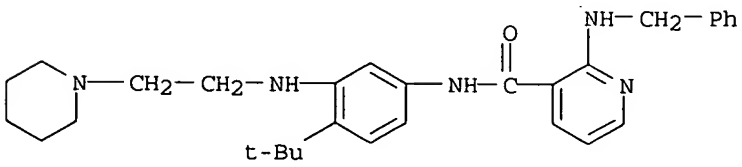


RN 442846-40-4 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3,4-difluorophenyl)methyl]amino]-N-[4-(1,1-

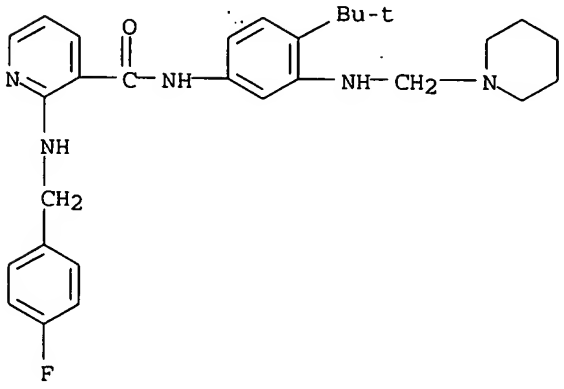
dimethylethyl)-3-[[2-(1-piperidinyl)ethyl]amino]phenyl]- (9CI) (CA INDEX NAME)



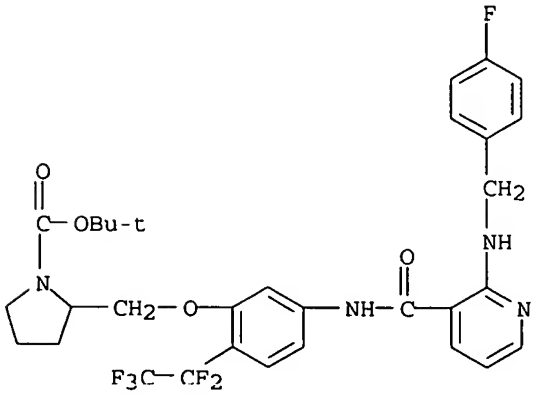
RN 442846-42-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-[[2-(1-piperidinyl)ethyl]amino]phenyl]-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



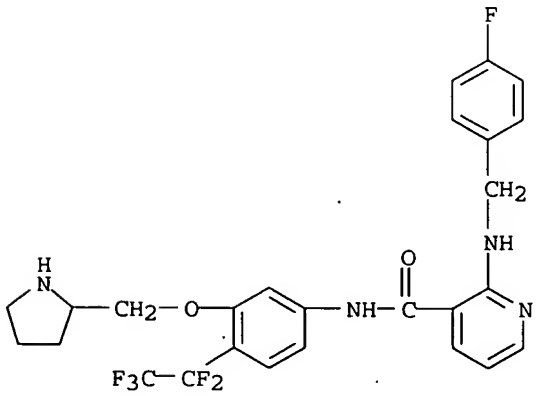
RN 442846-44-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-[(1-piperidinylmethyl)amino]phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



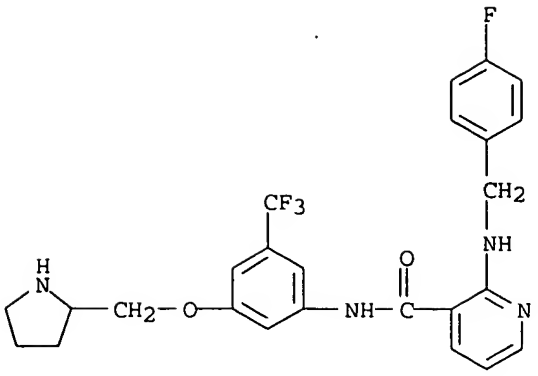
RN 442846-46-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[5-[[[2-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbonyl]amino]-2-(pentafluoroethyl)phenoxy]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



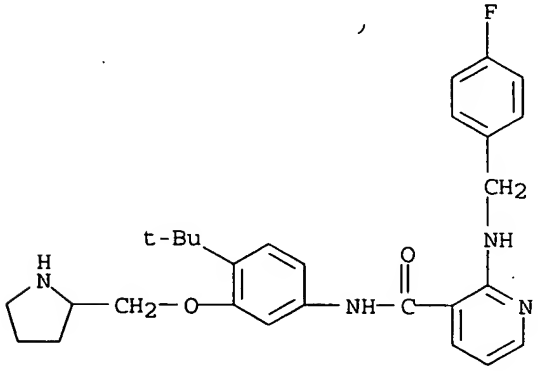
RN 442846-48-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[4-(pentafluoroethyl)-3-(2-pyrrolidinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



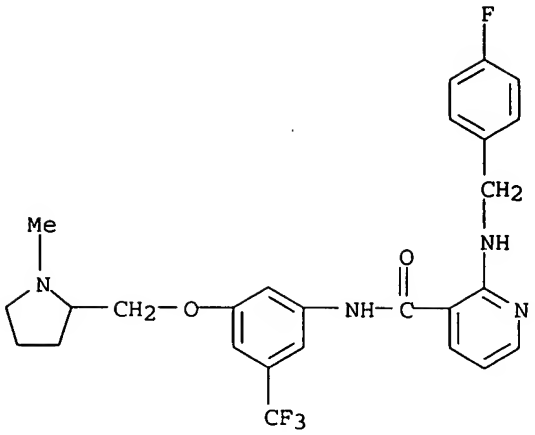
RN 442846-50-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-(2-pyrrolidinylmethoxy)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 442846-52-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-(1,1-dimethylethyl)-3-(2-pyrrolidinylmethoxy)phenyl]-2-[[(4-fluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



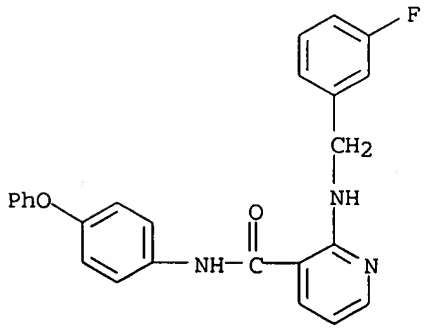
RN 442846-53-9 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-fluorophenyl)methyl]amino]-N-[3-[(1-methyl-2-pyrrolidinyl)methoxy]-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 442847-23-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-fluorophenyl)methyl]amino]-N-(4-phenoxyphenyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

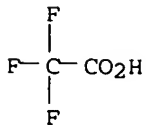
CM 1

CRN 442845-77-4
CMF C25 H20 F N3 O2



CM 2

CRN 76-05-1
CMF C2 H F3 O2



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [B1 and B2 independently equal C or N, wherein B1B2 form part of 5-6 membered heteroaryl ring A; R1 = one or more substituents selected from H, halo, oxo, (un)substituted cycloalkyl, phenylalkyl, etc.; R2 = (un)substituted cycloalkyl, cycloalkenyl, 6-10 membered aryl or 5-6 membered heterocyclyl, etc.; R3 = (un)substituted aryl; R4 = H, alkyl, (un)substituted Ph or aralkyl; X1 = bond, alkylenyl, alkenylenyl and alkynylenyl, where one of the CH2 groups may be substituted with O or NH, wherein X1 is optionally substituted with OH; X2 = (un)substituted N contg. linker, e.g., -NHCH2-], and there pharmaceutically acceptable derivs., are prepd. and disclosed as agents effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. Thus, II was prepd. via arylation of 1-dimethylamino-2-propyne with 3-bromo-5-trifluoromethylaniline, hydrogenation, amidation with 2-chloropyridine-3-carbonyl chloride and chloro-substitution with 4-phenoxyaniline. Selected compds. of the invention, e.g., II, inhibited VEGF-stimulated cell proliferation at a level below 50 nM. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2002:521710 CAPLUS
DN 137:93690
TI Preparation of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist for the treatment of inflammation due to neutrophil chemotaxis
IN Cutshall, Neil S.; Yager, Kraig M.
PA Darwin Discovery Ltd., UK
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN:CNT 1

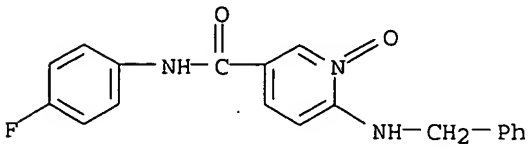
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002053544	A1	20020711	WO 2001-US47543	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2000-258730PP	20001229
US 2003004189	A1	20030102	US 2001-15861	20011212
			US 2000-258730PP	20001229

OS MARPAT 137:93690
IT **442133-97-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

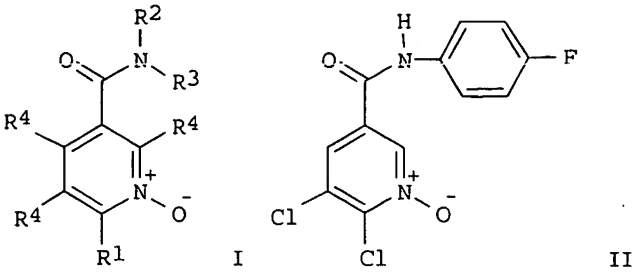
(drug candidate; prepn. of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist)

RN 442133-97-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-fluorophenyl)-6-[(phenylmethyl)amino]-, 1-oxide (9CI) (CA INDEX NAME)



GI



I II

AB Title compds. I, their optical isomers, diastereomers, enantiomers and pharmaceutically acceptable salts [wherein: R1 = R5, R5-heteroalkylene; R5 = H, halo, alkyl, heteroalkyl, etc.; R2, R3 = H, alkyl, heteroalkyl, aryl, etc.; R4 = H, halo, alkyl, heteroalkyl, etc.] were claimed. For example, hydrogen peroxide mediated N-oxidn. of 2-chloro-N-(4-fluorophenyl)-6-methylnicotinamide provided claimed oxynicotinamide II in 10% yield. Nicotinanilide N-oxides I are disclosed to inhibit chemokine-mediated cellular and inflammation events. Specific binding of 95 claimed examples to human interleukin 8 and human growth-regulatory oncogene-.alpha. (GRO-.alpha.) chemokine were reported as < or > 40% at 20 .mu.M ligand concn., e.g., compd. II > 40% for GRO-.alpha., were disclosed. Also, the specific binding of 9 claimed examples to human chemokine CCR5, human interleukin-CXCR1, human interleukin-CXCR2, human neuropeptide Y1 and somatostatin, e.g., compd. II: < 40% for CCR5, somatostatin; > 40% for CXCR1, CXCR2; no data for NYP1, were disclosed. A method for the identification of nicotinanilide-N-oxides. I receptors from cell or cellular components and the isolation of compds. I which bind to TNF-.alpha. signaling proteins via affinity bead chromatog. and surface plasmon resonance (SPR) are claimed (no data).

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2003 ACS

AN 2001:816647 CAPLUS

DN 135:357948

TI Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors

IN Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
PA Tanabe Seiyaku Co., Ltd., Japan
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083460	A1	20011108	WO 2001-JP2034	20010315
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				JP 2000-130371 A	20000428
	AU 2001041142	A5	20011112	AU 2001-41142	20010315
				JP 2000-130371 A	20000428
				WO 2001-JP2034 W	20010315
	EP 1277741	A1	20030122	EP 2001-912373	20010315
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				JP 2000-130371 A	20000428
				WO 2001-JP2034 W	20010315

PATENT FAMILY INFORMATION:
FAN 2001:208252

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019802	A1	20010322	WO 2000-JP6258	20000913
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				JP 1999-261852 A	19990916
				JP 2000-130371 A	20000428
	AU 2000073118	A5	20010417	AU 2000-73118	20000913
				JP 1999-261852 A	19990916
				JP 2000-130371 A	20000428
				WO 2000-JP6258 W	20000913
	JP 2002012587	A2	20020115	JP 2000-277652	20000913
				JP 1999-261852 A	19990916
				JP 2000-130371 A	20000428
	BR 2000014526	A	20020618	BR 2000-14526	20000913
				JP 1999-261852 A	19990916
				JP 2000-130371 A	20000428
				WO 2000-JP6258 W	20000918
	EP 1219609	A1	20020703	EP 2000-960979	20000913
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

			JP 1999-261852 A 19990916
			JP 2000-130371 A 20000428
			WO 2000-JP6258 W 20000913
US 2003032647	A1	20030213	US 2001-925892 20010810
			JP 1999-261852 A 19990916
			JP 2000-130371 A 20000428
			WO 2000-JP6258 A120000913
NO 2002001308	A	20020424	NO 2002-1308 20020315
			JP 1999-261852 A 19990916
			JP 2000-130371 A 20000428
			WO 2000-JP6258 W 20000913
BG 106566	A	20030228	BG 2002-106566 20020402
			JP 1999-261852 A 19990916
			JP 2000-130371 A 20000428
			WO 2000-JP6258 W 20000913

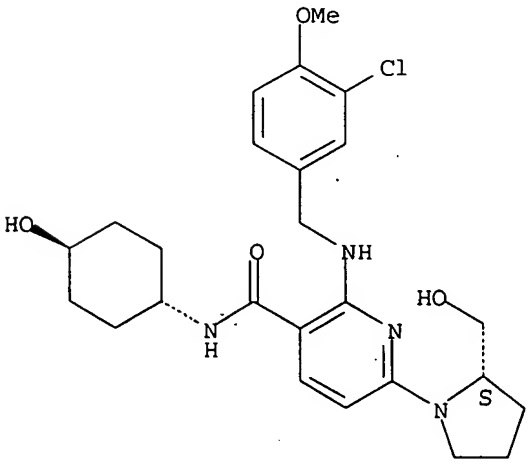
OS MARPAT 135:357948

IT **372115-86-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

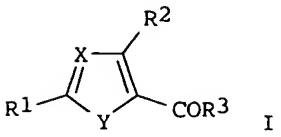
RN 372115-86-1 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-6-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous arom. heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3 and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepd. These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated wit NaH in THF at room temp. for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine (prepn. given) in THF at room temp. for 1 h to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2001:816643 CAPLUS
DN 135:344500
TI Preparation of condensed heteroaryl derivatives as phosphatidylinositol 3-kinase inhibitors and anticancer agents
IN Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta, Mitsuaki
PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer Research Technology Ltd.
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001083456	A1	20011108	WO 2001-JP3650	20010426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
JP 2000-128472 A 20000427

AU 2001052610	A5	20011112	AU 2001-52610 20010426
			JP 2000-128472 A 20000427
			WO 2001-JP3650 W 20010426
US 2002151544	A1	20021017	US 2001-843615 20010426
			JP 2000-128472 A 20000427
			US 2000-200537PP 20000427
			US 2000-200481PP 20000428
EP 1277738	A1	20030122	EP 2001-925981 20010426

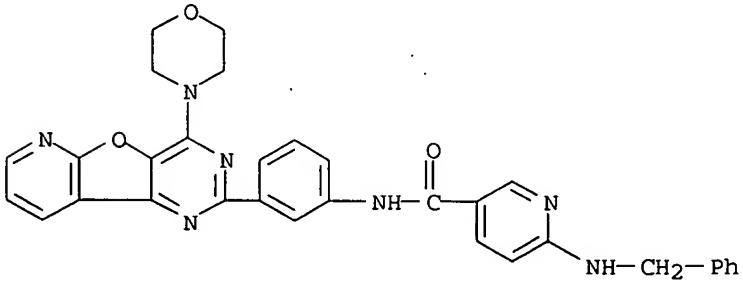
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2000-128472 A 20000427
WO 2001-JP3650 W 20010426

OS MARPAT 135:344500

IT **371935-25-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

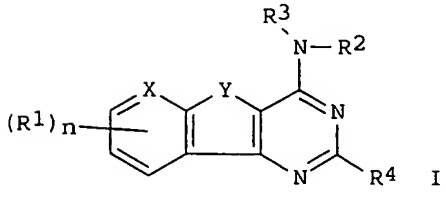
RN 371935-25-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-[4-(4-morpholinyl)pyrido[3',2':4,5]furo[3,2-d]pyrimidin-2-yl]phenyl]-6-[(phenylmethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

GI



I

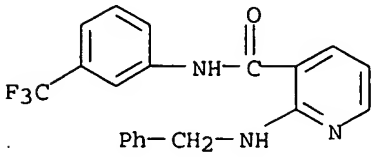
AB The title compds, e.g. I [n = 0 - 3; R1 = alkyl, etc.; R2, R3 = H, alkyl, etc; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH], are prepd. Several compds. of this invention in vitro showed IC50 values of .ltoreq. 1 .mu.M against phosphatidylinositol 3-kinase (p110 .alpha. subtype). The antitumor activity of compds. of this invention is also demonstrated.
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 2001:565010 CAPLUS
DN 135:137407
TI Preparation of 2-aminonicotinamides as VEGF-receptor tyrosine kinase inhibitors
IN Manley, Paul William; Bold, Guido
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001055114	A1	20010802	WO 2001-EP835	20010125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			GB 2000-1930	A 20000127
BR 2001007805	A	20021022	BR 2001-7805	20010125
			GB 2000-1930	A 20000127
			WO 2001-EP835	W 20010125
EP 1259487	A1	20021127	EP 2001-946854	20010125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			GB 2000-1930	A 20000127
			WO 2001-EP835	W 20010125
NO 2002003218	A	20020916	NO 2002-3218	20020702
			GB 2000-1930	A 20000127
			WO 2001-EP835	W 20010125
US 2003032656	A1	20030213	US 2002-181005	20020711
			GB 2000-1930	A 20000127
			WO 2001-EP835	W 20010125

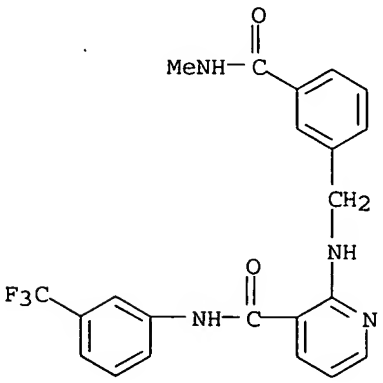
OS MARPAT 135:137407
IT **62636-33-3P 352227-86-2P 352227-92-0P 352228-00-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-aminonicotinamides as VEGF-receptor tyrosine kinase inhibitors)

RN 62636-33-3 CAPLUS
CN 3-Pyridinecarboxamide, 2-[(phenylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

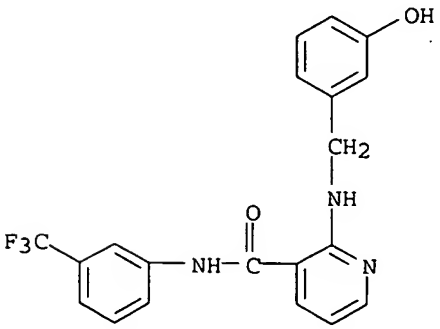


● HCl

RN 352227-86-2 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[[3-[(methylamino)carbonyl]phenyl]methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

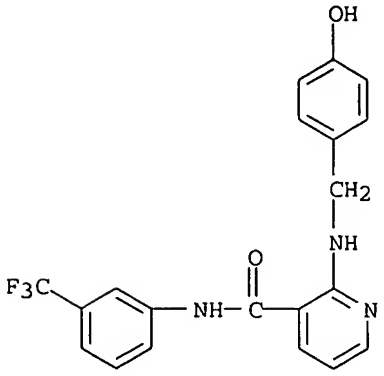


RN 352227-92-0 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(3-hydroxyphenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

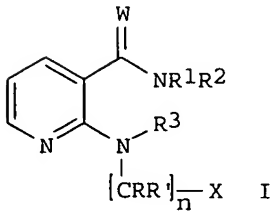


RN 352228-00-3 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(4-hydroxyphenyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; n = 1-6; W = O, S; R1, R3 = H, alkyl, acyl; R2 = (un)substituted cycloalkyl, aryl, mono- or bicyclic heteroaryl comprising one or more ring N atoms and 0-2 heteroatoms selected from O and S; R, R' = H, alkyl; X = (un)substituted aryl, mono- or bicyclic heteroaryl comprising one or more ring N atoms and 0-2 heteroatoms selected from O and S] and their pharmaceutically acceptable salts, useful for therapy of a disease which responds to an inhibition of the VEGF-receptor tyrosine kinase activity (such as neoplastic disease), were prepd. and formulated. Thus, amidation of 3-aminobenzotrifluoride with 2-chloronicotinoyl chloride followed by reacting 4-pyridineethanamine with the resulting carboxamide afforded I [n = 2; R, R' = H; X = 4-pyridyl; W = O; R1, R3 = H; R2 = 3-(F3C)C6H4].

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 1991:514559 CAPLUS
DN 115:114559
TI Preparation of 5,11-dihydro-6H-dipyrido [3,2-b:2',3'-e](1,4) diazepines and their use in the prevention or treatment of HIV infection
IN Hargrave, Karl D.; Schmidt, Guenther; Engel, Wolfhard; Trummlitz, Guenther; Eberlein, Wolfgang

PA Boehringer Ingelheim Pharmaceuticals, Inc., USA; Thomae, Dr. Karl, G.m.b.H.
SO Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 429987	A2	19910605	EP 1990-121954	19901116
	EP 429987	A3	19920122		
	EP 429987	B1	19990317		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	CA 2030056	AA	19910518	CA 1990-2030056	19901115
	CA 2030056	C	19951017		
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
	FI 9005674	A	19910518	FI 1990-5674	19901116
	FI 94529	B	19950615		
	FI 94529	C	19950925		
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	NO 9004986	A	19910521	NO 1990-4986	19901116
	NO 175478	B	19940711		
	NO 175478	C	19941019		
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	HU 56103	A2	19910729	HU 1990-7186	19901116
	HU 208139	B	19930830		
				US 1989-438923 A	19891117
	JP 04178386	A2	19920625	JP 1990-311230	19901116
	JP 2912007	B2	19990628		
				US 1989-438923 A	19891117
	IL 96367	A1	19970218	IL 1990-96367	19901116
				US 1989-438923 A	19891117
				IL 1990-94883	A019900627
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	AT 177744	E	19990415	AT 1990-121954	19901116
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	ES 2130114	T3	19990701	ES 1990-121954	19901116
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	AU 9066732	A1	19910523	AU 1990-66732	19901119
	AU 630251	B2	19921022		
				US 1989-438923 A	19891117
				US 1990-579001 A	19900906
				US 1990-600390 A	19901019
	ZA 9009246	A	19920729	ZA 1990-9246	19901119
				US 1989-438923 A	19891117

	JP 04257584	A2	19920911	JP 1991-211068 19910822
	JP 2539116	B2	19961002	
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	HU 59407	A2	19920528	HU 1991-2865 19910904
	HU 214595	B	19980428	
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	RU 2040527	C1	19950725	RU 1992-5011559 19920506
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	US 5366972	A	19941122	US 1993-91418 19930713
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
	US 5620974	A	19970415	US 1994-279464 19940722
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
				US 1993-91418 A319930713
	HK 1011025	A1	20000420	HK 1998-112090 19981117
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019

PATENT FAMILY INFORMATION:

FAN 1991:102069

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	EP 393529	A1	19901024	EP 1990-107098 19900412
	EP 393529	B1	19930630	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE			
				US 1989-340970 A 19890420
	AT 91128	E	19930715	AT 1990-107098 19900412
				US 1989-340970 A 19890420
				EP 1990-107098 A 19900412
	ES 2058656	T3	19941101	ES 1990-107098 19900412
				US 1989-340970 A 19890420
	CA 2014771	AA	19901020	CA 1990-2014771 19900418
				US 1989-340970 A 19890420
	JP 03063276	A2	19910319	JP 1990-104379 19900419
	JP 2851913	B2	19990127	
				US 1989-340970 A 19890420
	US 5366972	A	19941122	US 1993-91418 19930713
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
	US 5620974	A	19970415	US 1994-279464 19940722
				US 1989-340970 B219890420

				US 1989-372974	B219890628
				US 1989-438923	B219891117
				US 1990-579001	B219900906
				US 1990-600390	B219901019
				US 1991-740828	B119910805
				US 1993-91418	A319930713

FAN 1991:449732

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 410148	A1	19910130	EP 1990-112072	19900626
	EP 410148	B1	19940406		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1989-372974 A	19890628
	CA 2019812	AA	19901228	CA 1990-2019812	19900626
				US 1989-372974 A	19890628
	DD 295849	A5	19911114	DD 1990-342100	19900626
				US 1989-372974 A	19890628
	AT 103918	E	19940415	AT 1990-112072	19900626
				US 1989-372974 A	19890628
				EP 1990-112072 A	19900626
	ES 2063202	T3	19950101	ES 1990-112072	19900626
				US 1989-372974 A	19890628
	NO 9002851	A	19910102	NO 1990-2851	19900627
	NO 174468	B	19940131		
	NO 174468	C	19940518		
				US 1989-372974 A	19890628
	HU 55017	A2	19910429	HU 1990-4021	19900627
	HU 206504	B	19921130		
				US 1989-372974 A	19890628
	JP 03115283	A2	19910516	JP 1990-169663	19900627
	JP 2911967	B2	19990628		
				US 1989-372974 A	19890628
	ZA 9004991	A	19920325	ZA 1990-4991	19900627
				US 1989-372974 A	19890628
	FI 92828	B	19940930	FI 1990-3225	19900627
	FI 92828	C	19950110		
				US 1989-372974 A	19890628
	IL 94883	A1	19941007	IL 1990-94883	19900627
				US 1989-372974 A	19890628
	AU 9057921	A1	19910103	AU 1990-57921	19900628
	AU 620724	B2	19920220		
				US 1989-372974 A	19890628
	RU 2024522	C1	19941215	RU 1992-5011432	19920427
				US 1989-372974 A	19890628
	US 5366972	A	19941122	US 1993-91418	19930713
				US 1989-340970	B219890420
				US 1989-372974	B219890628
				US 1989-438923	B219891117
				US 1990-579001	B219900906
				US 1990-600390	B219901019
				US 1991-740828	B119910805
	US 5620974	A	19970415	US 1994-279464	19940722
				US 1989-340970	B219890420
				US 1989-372974	B219890628
				US 1989-438923	B219891117
				US 1990-579001	B219900906
				US 1990-600390	B219901019
				US 1991-740828	B119910805

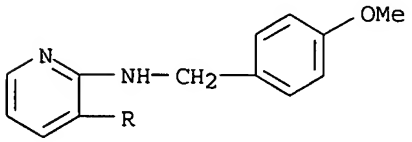
US 1993-91418 A319930713

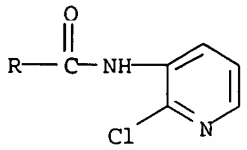
OS MARPAT 115:114559

IT **132312-45-9P 132362-76-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antiviral dihydrodipyridodiazepines)

RN 132312-45-9 CAPLUS

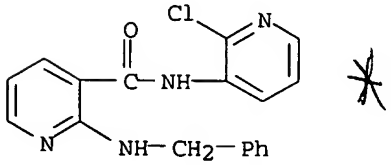
CN 3-Pyridinecarboxamide, N-(2-chloro-3-pyridinyl)-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



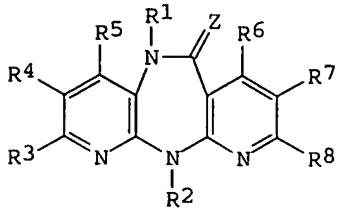


RN 132362-76-6 CAPLUS

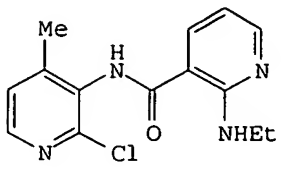
CN 3-Pyridinecarboxamide, N-(2-chloro-3-pyridinyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



GI



I



II

AB Title compds. I (Z = O, S, :NCN, :NOR9; R1-R8 = various subsets of groups selected from H, alkyl, cycloalkyl, fluoroalkyl, aryl, tetrahydrofuryl, alkanoyl, trihalomethyl, alkoxycarbonyl, halo, amino, and many more; R9 =

C1-3 alkyl; numerous provisions and exceptions) were prepd. for prevention and treatment of HIV-1 infection. For example, 2-hydroxy-4-methyl-3-nitropyridine was converted by chlorination with POCl3 and redn. to 3-amino-2-chloro-4-methylpyridine, which underwent amidation with 2-chloronicotinoyl chloride and condensation with EtNH2 to give (chloromethylpyridinyl)(ethylamino)pyridinecarboxamide II. Cyclization of II by NaH in DMF at reflux temp. gave I (Z = O, R1 = R3 =R4 = R6-R8 = H, R2 = Et, R5 = Me) (III). At 3 .mu.g/mL, III gave 100% inhibition of HIV-1 replication in a human T-cell culture assay. III also gave 100% inhibition of HIV-1 reverse transcriptase at 10 .mu.g/mL in vitro; no activity was seen for I against 2 related enzymes, indicating high specificity. Three formulations, 77 synthetic examples, and addnl. test results including cytotoxicity are given.

L4 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 1991:449732 CAPLUS
DN 115:49732
TI Preparation of 5,11-dihydro-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-ones and thiones and their use in the prevention or treatment of AIDS
IN Schmidt, Guenther; Engel, Wolfhard; Trummlitz, Guenter; Eberlein, Wolfgang; Hargrave, Karl D.
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA; Thomae, Dr. Karl, G.m.b.H.
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 410148	A1	19910130	EP 1990-112072	19900626
	EP 410148	B1	19940406		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1989-372974 A	19890628
	CA 2019812	AA	19901228	CA 1990-2019812	19900626
				US 1989-372974 A	19890628
	DD 295849	A5	19911114	DD 1990-342100	19900626
				US 1989-372974 A	19890628
	AT 103918	E	19940415	AT 1990-112072	19900626
				US 1989-372974 A	19890628
				EP 1990-112072 A	19900626
	ES 2063202	T3	19950101	ES 1990-112072	19900626
				US 1989-372974 A	19890628
	NO 9002851	A	19910102	NO 1990-2851	19900627
	NO 174468	B	19940131		
	NO 174468	C	19940518		
				US 1989-372974 A	19890628
	HU 55017	A2	19910429	HU 1990-4021	19900627
	HU 206504	B	19921130		
				US 1989-372974 A	19890628
	JP 03115283	A2	19910516	JP 1990-169663	19900627
	JP 2911967	B2	19990628		
				US 1989-372974 A	19890628
	ZA 9004991	A	19920325	ZA 1990-4991	19900627
				US 1989-372974 A	19890628
	FI 92828	B	19940930	FI 1990-3225	19900627
	FI 92828	C	19950110		
				US 1989-372974 A	19890628

	IL 94883	A1	19941007	IL 1990-94883 19900627
				US 1989-372974 A 19890628
	AU 9057921	A1	19910103	AU 1990-57921 19900628
	AU 620724	B2	19920220	
				US 1989-372974 A 19890628
	RU 2024522	C1	19941215	RU 1992-5011432 19920427
				US 1989-372974 A 19890628
	US 5366972	A	19941122	US 1993-91418 19930713
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
	US 5620974	A	19970415	US 1994-279464 19940722
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
				US 1993-91418 A319930713

PATENT FAMILY INFORMATION:

FAN 1991:102069

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	EP 393529	A1	19901024	EP 1990-107098 19900412
	EP 393529	B1	19930630	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE			
				US 1989-340970 A 19890420
	AT 91128	E	19930715	AT 1990-107098 19900412
				US 1989-340970 A 19890420
				EP 1990-107098 A 19900412
	ES 2058656	T3	19941101	ES 1990-107098 19900412
				US 1989-340970 A 19890420
	CA 2014771	AA	19901020	CA 1990-2014771 19900418
				US 1989-340970 A 19890420
	JP 03063276	A2	19910319	JP 1990-104379 19900419
	JP 2851913	B2	19990127	
				US 1989-340970 A 19890420
	US 5366972	A	19941122	US 1993-91418 19930713
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
	US 5620974	A	19970415	US 1994-279464 19940722
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
				US 1993-91418 A319930713

FAN 1991:514559

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE

PI	EP 429987	A2	19910605	EP 1990-121954 19901116
	EP 429987	A3	19920122	
	EP 429987	B1	19990317	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE			
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	CA 2030056	AA	19910518	CA 1990-2030056 19901115
	CA 2030056	C	19951017	
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
	FI 9005674	A	19910518	FI 1990-5674 19901116
	FI 94529	B	19950615	
	FI 94529	C	19950925	
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	NO 9004986	A	19910521	NO 1990-4986 19901116
	NO 175478	B	19940711	
	NO 175478	C	19941019	
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	HU 56103	A2	19910729	HU 1990-7186 19901116
	HU 208139	B	19930830	
				US 1989-438923 A 19891117
	JP 04178386	A2	19920625	JP 1990-311230 19901116
	JP 2912007	B2	19990628	
				US 1989-438923 A 19891117
	IL 96367	A1	19970218	IL 1990-96367 19901116
				US 1989-438923 A 19891117
				IL 1990-94883 A019900627
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	AT 177744	E	19990415	AT 1990-121954 19901116
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	ES 2130114	T3	19990701	ES 1990-121954 19901116
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	AU 9066732	A1	19910523	AU 1990-66732 19901119
	AU 630251	B2	19921022	
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	ZA 9009246	A	19920729	ZA 1990-9246 19901119
				US 1989-438923 A 19891117
	JP 04257584	A2	19920911	JP 1991-211068 19910822
	JP 2539116	B2	19961002	
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	HU 59407	A2	19920528	HU 1991-2865 19910904
	HU 214595	B	19980428	
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	RU 2040527	C1	19950725	RU 1992-5011559 19920506

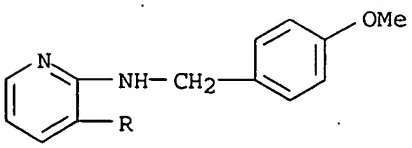
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
US 5366972	A	19941122	US 1993-91418 19930713
			US 1989-340970 B219890420
			US 1989-372974 B219890628
			US 1989-438923 B219891117
			US 1990-579001 B219900906
			US 1990-600390 B219901019
			US 1991-740828 B119910805
US 5620974	A	19970415	US 1994-279464 19940722
			US 1989-340970 B219890420
			US 1989-372974 B219890628
			US 1989-438923 B219891117
			US 1990-579001 B219900906
			US 1990-600390 B219901019
			US 1991-740828 B119910805
			US 1993-91418 A319930713
HK 1011025	A1	20000420	HK 1998-112090 19981117
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019

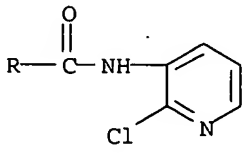
OS MARPAT 115:49732

IT **132312-45-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of drug for treatment of AIDS)

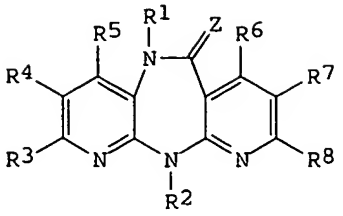
RN 132312-45-9 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-chloro-3-pyridinyl)-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)





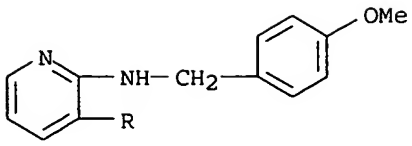
GI

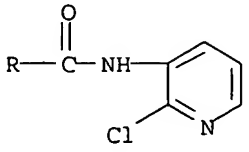


I

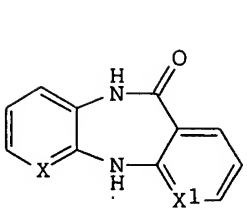
AB The title compds. I [Z = O, S; R1 = H, (substituted) alkyl, arylmethyl, etc.; R2 = H, (substituted) alkyl, alkenyl, etc.; R3-R8 = H, or 1 of R3-R8 is alkyl, alkoxy, alkylthio, etc., and the remaining 5 of R3-R8 are each H, or R3-R5 are H, alkyl with the proviso that at least one is H or 1 of R3-R5 is Bu with the remaining 2 being H; and R6-R8 are H, alkyl with the proviso that at least 1 is H, or 1 of R6-R8 is Bu with the remaining 2 being H; with the proviso that when R1 and R2 are H, alkyl and R3-R8 are all H then Z is S] were prepd. A mixt. of 5,11-dihydro-11-ethyl-5-methyl-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-one and Lawesson's reagent in toluene was refluxed for 2.5 h to give I (R1 = Me; Z = S; R2 = Et; R3 = R4 = R5 = R6 = R7 = R8 = H), which at 10 .mu.g/mL gave 100% in vitro inhibition of reverse transcriptase.

L4 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 1991:449642 CAPLUS
DN 115:49642
TI Novel non-nucleoside inhibitors of HIV-1 reverse transcriptase. 1. Tricyclic pyridobenzo- and dipyridodiazepinones
AU Hargrave, Karl D.; Proudfoot, John R.; Grozinger, Karl G.; Cullen, Ernest; Kapadia, Suresh R.; Patel, Usha R.; Fuchs, Victor U.; Mauldin, Scott C.; Vitous, Jana; et al.
CS Boehringer Ingelheim Pharm., Inc., Ridgefield, CT, 06877, USA
SO Journal of Medicinal Chemistry (1991), 34(7), 2231-41
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 115:49642
IT **132312-45-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reductive intramol. cyclocondensation of, dipyridodiazepinone from)
RN 132312-45-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-chloro-3-pyridinyl)-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)

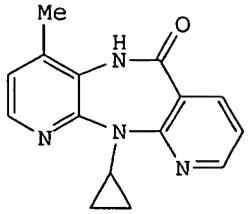




GI



I



II

AB Novel pyrido[2,3-b][1,4]benzodiazepinones, pyrido[2,3-b][1,5]benzodiazepinones, and dipyrido[3,2-b:2',3'-e][1,4]diazepinones e.g., I (X = N, X1 = CH; X = CH; X1 = N) and II inhibited human immunodeficiency virus type 1 reverse transcriptase in vitro at concns. as low as 35 nM. In all three series, small substituents (e.g., Me, Et, Ac) are preferred at the lactam nitrogen, whereas slightly larger alkyl moieties (e.g., Et, cyclopropyl) are favored at the other (N-11) diazepinone nitrogen. In general, lipophilic substituents are preferred on the A ring, whereas substitution on the C ring generally reduces potency relative to the corresponding compds. with no substituents on the arom. ring. Max. potency is achieved with Me substitution at the position ortho to the lactam nitrogen atom; however, in this case an unsubstituted lactam nitrogen is preferred. Addnl. substituents on the A ring can be readily tolerated. II (BI-RG-587) is a potent (IC50 = 84 nM) and selective non-nucleoside inhibitor of HIV-1 reverse transcriptase, and has been chosen for preclin. development. II is noncytotoxic except at high doses and effective against all clin. isolates of HIV-1, including those which are AZT-resistant. It is specific for HIV-1, ineffective against HIV-2, inactive against simian and feline reverse transcriptase, and does not inhibit DNA polymerases.

L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS
AN 1991:102069 CAPLUS
DN 114:102069
TI Preparation of 5,11-dihydro-6H-dipyrido[3,2-b:2',3'-e][1,4]diazepin-6-ones as drugs for prevention or treatment of AIDS

IN Schmidt, Guenther; Engel, Wolfhard; Trummlitz, Guenter; Eberlein, Wolfgang; Hargrave, Karl D.
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA; Thomae, Dr. Karl, G.m.b.H.
SO Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 393529	A1	19901024	EP 1990-107098	19900412
	EP 393529	B1	19930630		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1989-340970 A	19890420
	AT 91128	E	19930715	AT 1990-107098	19900412
				US 1989-340970 A	19890420
				EP 1990-107098 A	19900412
	ES 2058656	T3	19941101	ES 1990-107098	19900412
				US 1989-340970 A	19890420
	CA 2014771	AA	19901020	CA 1990-2014771	19900418
				US 1989-340970 A	19890420
	JP 03063276	A2	19910319	JP 1990-104379	19900419
	JP 2851913	B2	19990127		
				US 1989-340970 A	19890420
	US 5366972	A	19941122	US 1993-91418	19930713
				US 1989-340970 B2	19890420
				US 1989-372974 B2	19890628
				US 1989-438923 B2	19891117
				US 1990-579001 B2	19900906
				US 1990-600390 B2	19901019
				US 1991-740828 B1	19910805
	US 5620974	A	19970415	US 1994-279464	19940722
				US 1989-340970 B2	19890420
				US 1989-372974 B2	19890628
				US 1989-438923 B2	19891117
				US 1990-579001 B2	19900906
				US 1990-600390 B2	19901019
				US 1991-740828 B1	19910805
				US 1993-91418 A3	19930713

PATENT FAMILY INFORMATION:
FAN 1991:449732

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 410148	A1	19910130	EP 1990-112072	19900626
	EP 410148	B1	19940406		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				US 1989-372974 A	19890628
	CA 2019812	AA	19901228	CA 1990-2019812	19900626
				US 1989-372974 A	19890628
	DD 295849	A5	19911114	DD 1990-342100	19900626
				US 1989-372974 A	19890628
	AT 103918	E	19940415	AT 1990-112072	19900626
				US 1989-372974 A	19890628
				EP 1990-112072 A	19900626
	ES 2063202	T3	19950101	ES 1990-112072	19900626
				US 1989-372974 A	19890628
	NO 9002851	A	19910102	NO 1990-2851	19900627

	NO 174468	B	19940131	
	NO 174468	C	19940518	
				US 1989-372974 A 19890628
	HU 55017	A2	19910429	HU 1990-4021 19900627
	HU 206504	B	19921130	
				US 1989-372974 A 19890628
	JP 03115283	A2	19910516	JP 1990-169663 19900627
	JP 2911967	B2	19990628	
				US 1989-372974 A 19890628
	ZA 9004991	A	19920325	ZA 1990-4991 19900627
				US 1989-372974 A 19890628
	FI 92828	B	19940930	FI 1990-3225 19900627
	FI 92828	C	19950110	
				US 1989-372974 A 19890628
	IL 94883	A1	19941007	IL 1990-94883 19900627
				US 1989-372974 A 19890628
	AU 9057921	A1	19910103	AU 1990-57921 19900628
	AU 620724	B2	19920220	
				US 1989-372974 A 19890628
	RU 2024522	C1	19941215	RU 1992-5011432 19920427
				US 1989-372974 A 19890628
	US 5366972	A	19941122	US 1993-91418 19930713
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
	US 5620974	A	19970415	US 1994-279464 19940722
				US 1989-340970 B219890420
				US 1989-372974 B219890628
				US 1989-438923 B219891117
				US 1990-579001 B219900906
				US 1990-600390 B219901019
				US 1991-740828 B119910805
				US 1993-91418 A319930713

FAN 1991:514559

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	EP 429987	A2	19910605	EP 1990-121954 19901116
	EP 429987	A3	19920122	
	EP 429987	B1	19990317	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE			
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	CA 2030056	AA	19910518	CA 1990-2030056 19901115
	CA 2030056	C	19951017	
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
	FI 9005674	A	19910518	FI 1990-5674 19901116
	FI 94529	B	19950615	
	FI 94529	C	19950925	
				US 1989-438923 A 19891117
				US 1990-579001 A 19900906
				US 1990-600390 A 19901019
	NO 9004986	A	19910521	NO 1990-4986 19901116
	NO 175478	B	19940711	

NO 175478	C	19941019	
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
HU 56103	A2	19910729	HU 1990-7186 19901116
HU 208139	B	19930830	
			US 1989-438923 A 19891117
JP 04178386	A2	19920625	JP 1990-311230 19901116
JP 2912007	B2	19990628	
			US 1989-438923 A 19891117
IL 96367	A1	19970218	IL 1990-96367 19901116
			US 1989-438923 A 19891117
			IL 1990-94883 A019900627
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
AT 177744	E	19990415	AT 1990-121954 19901116
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
ES 2130114	T3	19990701	ES 1990-121954 19901116
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
AU 9066732	A1	19910523	AU 1990-66732 19901119
AU 630251	B2	19921022	
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
ZA 9009246	A	19920729	ZA 1990-9246 19901119
			US 1989-438923 A 19891117
JP 04257584	A2	19920911	JP 1991-211068 19910822
JP 2539116	B2	19961002	
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
HU 59407	A2	19920528	HU 1991-2865 19910904
HU 214595	B	19980428	
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
RU 2040527	C1	19950725	RU 1992-5011559 19920506
			US 1989-438923 A 19891117
			US 1990-579001 A 19900906
			US 1990-600390 A 19901019
US 5366972	A	19941122	US 1993-91418 19930713
			US 1989-340970 B219890420
			US 1989-372974 B219890628
			US 1989-438923 B219891117
			US 1990-579001 B219900906
			US 1990-600390 B219901019
			US 1991-740828 B119910805
US 5620974	A	19970415	US 1994-279464 19940722
			US 1989-340970 B219890420
			US 1989-372974 B219890628
			US 1989-438923 B219891117
			US 1990-579001 B219900906
			US 1990-600390 B219901019
			US 1991-740828 B119910805
			US 1993-91418 A319930713
HK 1011025	A1	20000420	HK 1998-112090 19981117

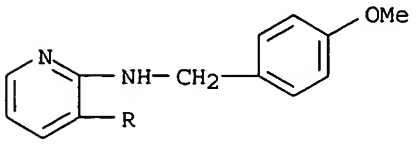
US 1989-438923 A 19891117
US 1990-579001 A 19900906
US 1990-600390 A 19901019

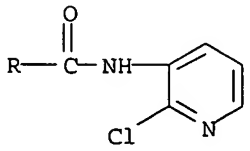
OS MARPAT 114:102069

IT **132312-45-9P 132362-76-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for dipyridodiazepinone reverse transcriptase inhibitor)

RN 132312-45-9 CAPLUS

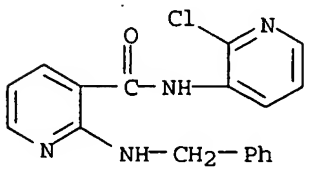
CN 3-Pyridinecarboxamide, N-(2-chloro-3-pyridinyl)-2-[[(4-methoxyphenyl)methyl]amino]- (9CI) (CA INDEX NAME)



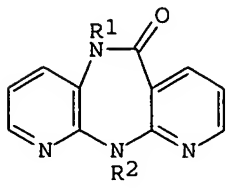


RN 132362-76-6 CAPLUS

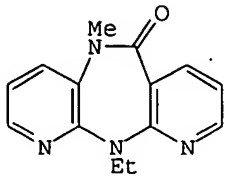
CN 3-Pyridinecarboxamide, N-(2-chloro-3-pyridinyl)-2-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. (I; R1, R2 = H, C1-5 alkyl), were prepd. Thus, N-(2-chloro-3-pyridinyl)-2-[[(4-methoxyphenyl)methyl]amino]-3-pyridinecarboxamide (prepn. given) was refluxed 8 h with NaH in DMF to

L Number	Hits	Search Text	DB	Time stamp
1	5221	("514/183,188,277,352,354,356").CCLS	USPAT	2003/07/02 09:57
2	1355	("546/304,315,345").CCLS	USPAT	2003/07/02 09:58
3	189	(("514/183,188,277,352,354,356").CCLS) and (("546/304,315,345").CCLS)	USPAT	2003/07/02 09:58
4	37	((("514/183,188,277,352,354,356").CCLS) and (("546/304,315,345").CCLS)) and cancer	USPAT	2003/07/02 09:58

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

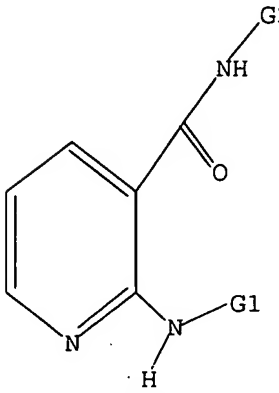
NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA

```
 NEWS 41  May 19  RAPRA enhanced with new search field, simultaneous left and
                  right truncation
 NEWS 42  Jun 06  Simultaneous left and right truncation added to CBNB
 NEWS 43  Jun 06  PASCAL enhanced with additional data
 NEWS 44  Jun 20  2003 edition of the FSTA Thesaurus is now available
 NEWS 45  Jun 25  HSDB has been reloaded

 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:27:51 ON 01 JUL 2003

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

FILE 'REGISTRY' ENTERED AT 07:28:06 ON 01 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   29 JUN 2003  HIGHEST RN 539790-82-4
DICTIONARY FILE UPDATES:  29 JUN 2003  HIGHEST RN 539790-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
```

Uploading 10046526.5

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 CH2,CH,SO2

G2 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 07:28:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 110 TO ITERATE

100.0% PROCESSED 110 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1571 TO 2829
PROJECTED ANSWERS: 257 TO 903

L2 29 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 07:28:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2581 TO ITERATE

100.0% PROCESSED 2581 ITERATIONS 543 ANSWERS
SEARCH TIME: 00.00.01

L3 543 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 07:28:46 ON 01 JUL 2003

FILE COVERS 1907 - 1 Jul 2003 VOL 139 ISS 1
FILE LAST UPDATED: 30 Jun 2003 (20030630/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 36 L3

=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 36 CAPLUS COPYRIGHT 2003 ACS
AN 2003:242305 CAPLUS
DN 138:271675
TI Preparation of 4,5-dihydro-1H-benzo[g]indazole-3-carboxamides for the treatment of inflammation
IN Bergmanis, Arija A.; Bonafoux, Dominique; Clare, Michael; Crich, Joyce Z.; Fletcher, Theresa R.; Geng, Lifeng; Hagen, Timothy J.; Hamper, Bruce C.; Hanson, Gunnar J.; Houdek, Stephen C.; Huang, He; Iula, Donna M.; Koszyk, Francis J.; Lennon, Patrick J.; Liao, Shuyuan; Liao, Subo; Metz, Suzanne; Mohler, Scott B.; Nguyen, Maria; Oburn, David S.; Owen, Thomas J.; Partis, Richard A.; Scates, Angela M.; Stealey, Michael A.; Tollefson, Michael B.; Vazquez, Michael L.; Weier, Richard M.; Wolfson, Serge G.; Xu, Xiangdong
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003024935	A2	20030327	WO 2002-US29774	20020919

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2003 ACS
AN 2000:53572 CAPLUS
DN 132:93104
TI Preparation of sulfur substituted sulfonylaminocarboxylic acid N-arylamides as modulators of cyclic guanosine monophosphate (cGMP) production
IN Schindler, Ursula; Schonafinger, Karl; Strobel, Hartmut
PA Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000002851	A1	20000120	WO 1999-EP4426	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
DE 19830430	A1	20000113	DE 1998-19830430	19980708
DE 19903126	A1	20000803	DE 1999-19903126	19990127
CA 2336807	AA	20000120	CA 1999-2336807	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
AU 9946160	A1	20000201	AU 1999-46160	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
BR 9911914	A	20010327	BR 1999-11914	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
EP 1095016	A1	20010502	EP 1999-929318	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
JP 2002520309	T2	20020709	JP 2000-559082	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
NO 2001000013	A	20010301	NO 2001-13	20010102
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625

PATENT FAMILY INFORMATION:

102 (A)

FAN 2000:31524

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19830430	A1	20000113	DE 1998-19830430	19980708
CA 2336807	AA	20000120	CA 1999-2336807	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
WO 2000002851	A1	20000120	WO 1999-EP4426	19990625
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
AU 9946160	A1	20000201	AU 1999-46160	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
BR 9911914	A	20010327	BR 1999-11914	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
EP 1095016	A1	20010502	EP 1999-929318	19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
JP 2002520309	T2	20020709	JP 2000-559082	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
US 6335334	B1	20020101	US 1999-349933	19990708
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
NO 2001000013	A	20010301	NO 2001-13	20010102
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
US 2002061887	A1	20020523	US 2001-994730	20011128
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			US 1999-349933 A3	19990708

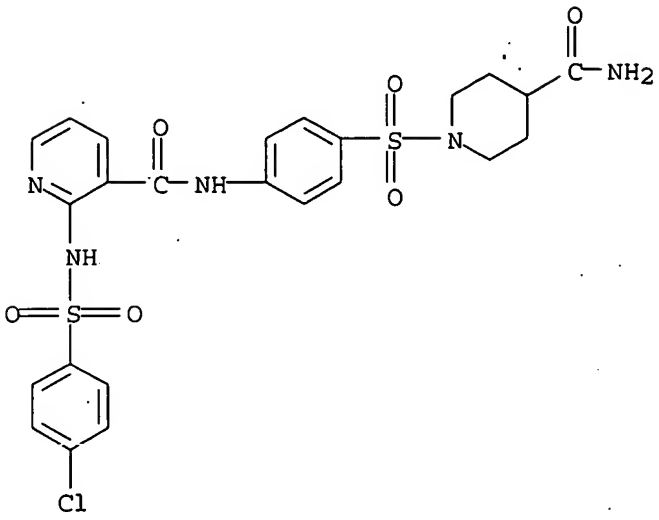
OS MARPAT 132:93104

IT **254877-06-0P 254877-07-1P 254878-43-8P**
254975-95-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfur substituted sulfonylaminocarboxylic acid N-arylamides as modulators of cyclic guanosine monophosphate (cGMP) prodn.)

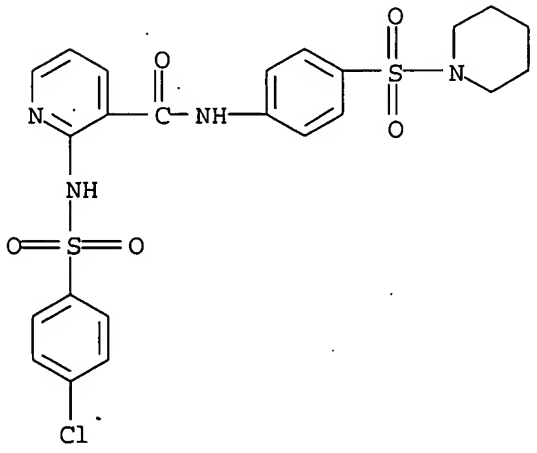
RN 254877-06-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[4-(aminocarbonyl)-1-

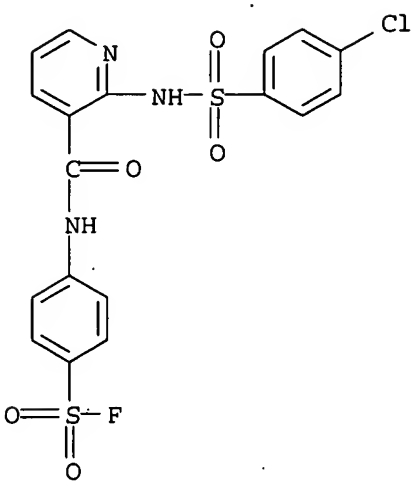
piperidinyl]sulfonyl]phenyl]-2-[[(4-chlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 254877-07-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

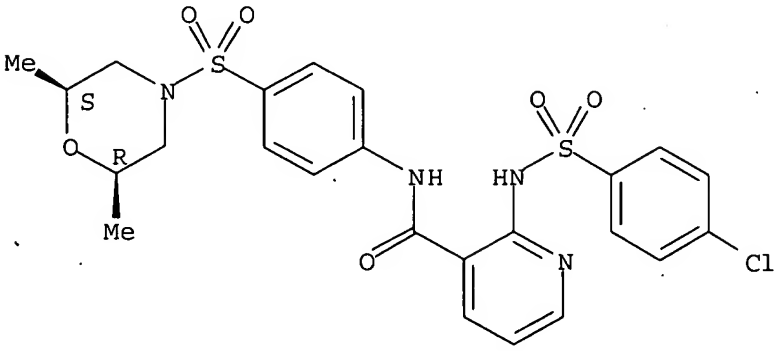


RN 254878-43-8 CAPLUS
CN Benzenesulfonyl fluoride, 4-[[[2-[[(4-chlorophenyl)sulfonyl]amino]-3-pyridinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

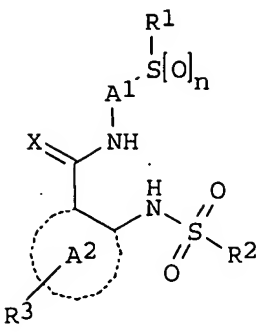


RN 254975-95-6 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[[(2R,6S)-2,6-dimethyl-4-morpholinyl]sulfonyl]phenyl]-, rel- (9CI) (CA INDEX NAME)

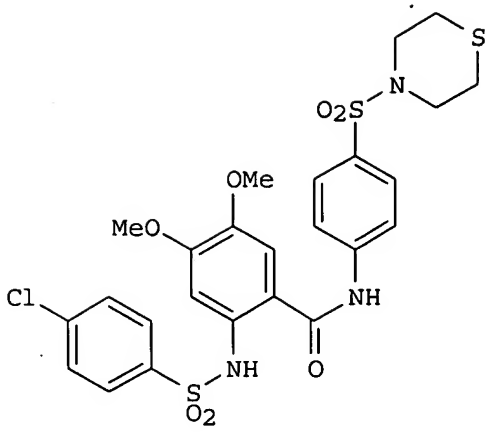
Relative stereochemistry.



GI



I



II

AB The title compds. [I; A1 = (un)substituted phenylene, naphthylene, heteroarylene; ring A2 comprises the carbon atoms which carry the groups C(:X)NH and NHSO2R2 is a benzene, naphthalene, (un)satd. 3-7 membered carbocycle, etc.; R1 = (un)substituted aryl, heterocyclyl, C1-18 alkyl; R2 = (un)substituted aryl, heterocyclyl, C1-10 alkyl, etc.; R3 = H, halo, CF3, etc.; n = 0-2; X = O, NH], useful for the therapy and prophylaxis of diseases, for example of cardiovascular diseases such as hypertension, angina pectoris, cardiac insufficiency, thromboses or atherosclerosis, were prepd. The compds. I are capable of modulating the body's prodn. of cyclic guanosine monophosphate (cGMP) and are generally suitable for the therapy and prophylaxis of diseases which are assocd. with a disturbed cGMP balance. Thus, reacting 4-{[2-(4-chlorophenylsulfonyl)-4,5-dimethoxybenzoyl]amino}benzenesulfonyl fluoride (prepn. given) with thiomorpholine afforded 65% II which showed 34.8-fold stimulation ([cGMP]test substance/[cGMP]control) at 50 .mu.M.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2003 ACS
AN 2000:31524 CAPLUS
DN 132:93102
TI Preparation of arylsulfonylaminoarylamides as guanylate cyclase activators.
IN Schindler, Ursula; Schoenafinger, Karl; Strobel, Hartmut
PA Hoechst Marion Roussel Deutschland G.m.b.H., Germany
SO Ger. Offen., 24 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19830430	A1	20000113	DE 1998-19830430	19980708
CA 2336807	AA	20000120	CA 1999-2336807	19990625
			DE 1998-19830430A	19980708
			DE 1999-19903126A	19990127
			WO 1999-EP4426 W	19990625
WO 2000002851	A1	20000120	WO 1999-EP4426	19990625

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,

JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127

AU 9946160 A1 20000201 AU 1999-46160 19990625
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127
WO 1999-EP4426 W 19990625

BR 9911914 A 20010327 BR 1999-11914 19990625
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127
WO 1999-EP4426 W 19990625

EP 1095016 A1 20010502 EP 1999-929318 19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127
WO 1999-EP4426 W 19990625

JP 2002520309 T2 20020709 JP 2000-559082 19990625
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127
WO 1999-EP4426 W 19990625

US 6335334 B1 20020101 US 1999-349933 19990708
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127

NO 2001000013 A 20010301 NO 2001-13 20010102
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127
WO 1999-EP4426 W 19990625

US 2002061887 A1 20020523 US 2001-994730 20011128
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127
US 1999-349933 A319990708

PATENT FAMILY INFORMATION:

FAN 2000:53572

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000002851	A1	20000120	WO 1999-EP4426	19990625

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127

DE 19830430 A1 20000113 DE 1998-19830430 19980708
DE 19903126 A1 20000803 DE 1999-19903126 19990127
CA 2336807 AA 20000120 CA 1999-2336807 19990625
DE 1998-19830430A 19980708
DE 1999-19903126A 19990127

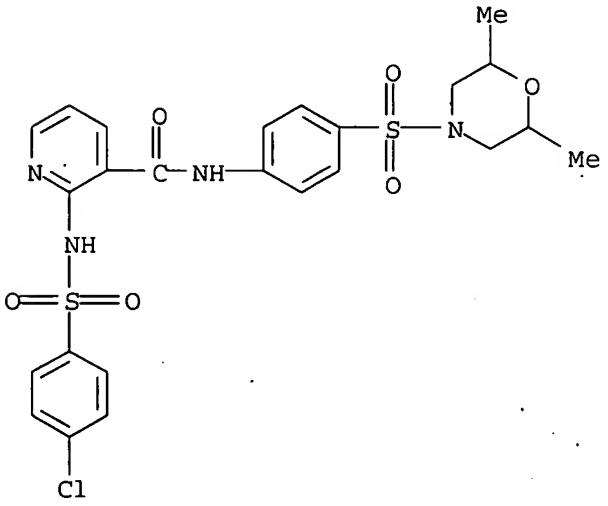
			WO 1999-EP4426 W 19990625
AU 9946160	A1	20000201	AU 1999-46160 19990625
			DE 1998-19830430A 19980708
			DE 1999-19903126A 19990127
			WO 1999-EP4426 W 19990625
BR 9911914	A	20010327	BR 1999-11914 19990625
			DE 1998-19830430A 19980708
			DE 1999-19903126A 19990127
			WO 1999-EP4426 W 19990625
EP 1095016	A1	20010502	EP 1999-929318 19990625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
			DE 1998-19830430A 19980708
			DE 1999-19903126A 19990127
			WO 1999-EP4426 W 19990625
JP 2002520309	T2	20020709	JP 2000-559082 19990625
			DE 1998-19830430A 19980708
			DE 1999-19903126A 19990127
			WO 1999-EP4426 W 19990625
NO 2001000013	A	20010301	NO 2001-13 20010102
			DE 1998-19830430A 19980708
			DE 1999-19903126A 19990127
			WO 1999-EP4426 W 19990625

OS MARPAT 132:93102

IT **254877-05-9P 254877-06-0P 254877-07-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonylaminoarylamides as guanylate cyclase activators)

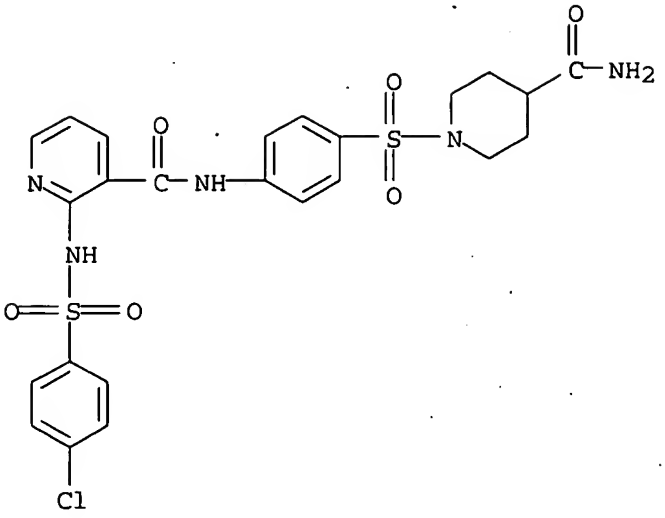
RN 254877-05-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-[(2,6-dimethyl-4-morpholinyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

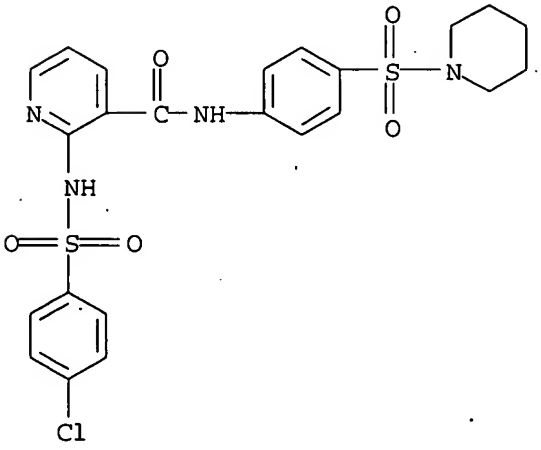


RN 254877-06-0 CAPLUS

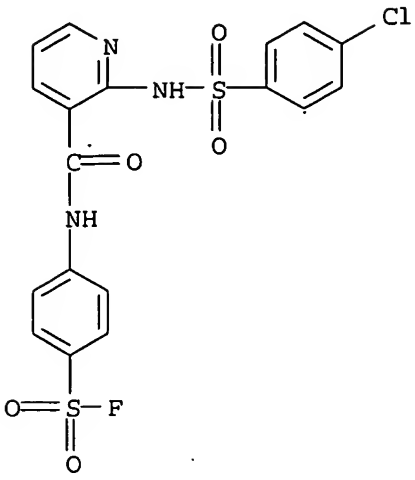
CN 3-Pyridinecarboxamide, N-[4-[[4-(aminocarbonyl)-1-piperidinyl]sulfonyl]phenyl]-2-[[(4-chlorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



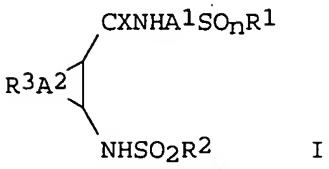
RN 254877-07-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-[[(4-chlorophenyl)sulfonyl]amino]-N-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



IT **254878-43-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylsulfonylaminoarylamides as guanylate cyclase activators)
RN 254878-43-8 CAPLUS
CN Benzenesulfonyl fluoride, 4-[[[2-[[(4-chlorophenyl)sulfonyl]amino]-3-pyridinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



GI



I

AB Title compds. [I; A1 = (substituted) phenylene, naphthylene, heteroarylene; A2 = atoms to form Ph, naphthyl, carbocyclyl, heterocyclyl rings; R1 = (substituted) aryl, heterocyclyl, alkyl; R2 = R1, amino; R3 = .gtoreq.1 of H, halo, CF3, OH, alkoxy, alkoxyalkoxy, aryloxy, NO2, cyano, amino, CO2H, etc.; X = O, NH, etc.; n = 0-2], were prepd. Thus, 4-[[2-(4-chlorphenylsulfonylamino)-4,5-dimethoxybenzoyl]amino]benzenesulfonyl fluoride was heated in thiomorpholine at 90.degree. for 30 min. to give 65% 2-(4-chlorophenylsulfonylamino)-4,5-dimethoxy-N-[4-(thiomorpholin-4-sulfonyl)phenyl]benzamide. The latter at 50 .mu.M gave 34.8-fold stimulation of sol. guanylate cyclase.

L4 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2003 ACS
AN 1999:784082 CAPLUS
DN 132:22963
TI Preparation of N-(pyrazolylphenyl)alkanamides and analogs as IL-2 production inhibitors
IN Betageri, Rajashekhar; Cywin, Charles L.; Hargrave, Karl; Hoermmann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jun
PA Boehringer Ingelheim Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1